BEST AVAILABLE COPY

10743642

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 15:02:12 ON 04 FEB 2007

=> FILE REG COST IN U.S. DOLLARS

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.21
0.21

FILE 'REGISTRY' ENTERED AT 15:02:25 ON 04 FEB 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 FEB 2007 HIGHEST RN 919200-33-2 DICTIONARY FILE UPDATES: 2 FEB 2007 HIGHEST RN 919200-33-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10743642.str

```
chain nodes :
6  7  8  9  12  13  16
ring nodes :
1  2  3  4  5
chain bonds :
1-8  3-6  5-13  6-7  6-12  7-16  8-9
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  1-8  2-3  3-4  3-6  4-5  5-13  6-7  6-12  7-16  8-9
isolated ring systems :
containing 1 :
```

G1:C,N

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 12:CLASS 13:Atom 16:CLASS

STRUCTURE UPLOADED

SAEED

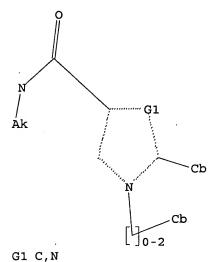
L1

=> D

L1 HAS NO ANSWERS

Ll

STF



Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 15:02:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3640 TO ITERATE

54.9% PROCESSED

2000 ITERATIONS

41 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

69182 TO 76418

PROJECTED ANSWERS:

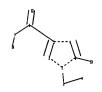
974 TO 2010

L2

41 SEA SSS SAM L1

=>

Uploading C:\Program Files\Stnexp\Queries\107436421.str



```
chain nodes :
6  7  8  9  12  13  16
ring nodes :
1  2  3  4  5
chain bonds :
1-8  3-6  5-13  6-7  6-12  7-16  8-9
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  1-8  2-3  3-4  3-6  4-5  5-13  6-7  6-12  7-16  8-9
isolated ring systems :
containing 1 :
```

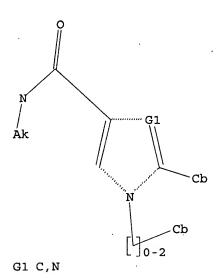
G1:C,N

Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:Atom 12:CLASS 13:Atom 16:CLASS

L3 STRUCTURE UPLOADED

=> D

L3 HAS NO ANSWERS '



Structure attributes must be viewed using STN Express query preparation.

=> S L3

SAMPLE SEARCH INITIATED 15:04:19 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3640 TO ITERATE

54.9% PROCESSED

2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE** 69182 TO 76418

PROJECTED ITERATIONS:

PROJECTED ANSWERS:

974 TO 2010

41 SEA SSS SAM L3

=> S L3 FULL

FULL SEARCH INITIATED 15:04:43 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 72017 TO ITERATE

100.0% PROCESSED 72017 ITERATIONS

1282 ANSWERS

41 ANSWERS

SEARCH TIME: 00.00.01

L5

1282 SEA SSS FUL L3

=> FILE CAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

173.45

SESSION 173.66

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 15:04:53 ON 04 FEB 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 4 Feb 2007 VOL 146 ISS 7 FILE LAST UPDATED: 2 Feb 2007 (20070202/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> S L5

L6 43 L5

=> D IBIB ABS HITSTR TOT

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2007:11808 CAPLUS DOCUMENT NUMBER: 146:121964

INVENTOR (S)

Idés:121964
Imidazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases
Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Lu, Shao Po; Martin, Richard; Mohan, Raju, Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong Exelixis, Inc., USA
PCT Int. Appl., 268pp., which
CODEN: PIXXD2
Patent
English
2

PATENT ASSIGNEE (S) : SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2007002563

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CR, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GR, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MX, MZ, NA, NO, NI, NO, NZ, OM, PG; PH, PL, PT, RO, RR, US, CS, SD, SB, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZM

RN: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, CM, ML, MR, NE, SN, TD, TO, BW, GH, GM, KE, LS, MN, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, RIGRITY APPLN. INFO::

US 2005-694372P

P 20050627

US 2005-736120P P 20051110

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of the invention, such as compds. of formulas I, II, III and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, are useful as modulators of the activity of liver X receptors.

Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein Rl is (un)substituted (hetero)aryl, (un)substituted G2-8 cycloakyl, (un)substituted alkyl, (un)substituted acyl, (un)substituted thioacyl, sulfonyl, ether, etc.; R2 and R21 are independently (un)substituted alkyl, (un)substituted alkyld; (un)substituted alkyld; (un)substituted (hetero)aryl, (un)substituted (hetero)aryl, CN, etc.; G is

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN 918349-03-8P 918349-04-9P 918349-05-0P 918349-06-1P 918349-07-2P 918349-08-1P 918349-10-7P 918349-11-8P 918349-19-9P 918349-35-6P (Continued) 918349-12-9P 918349-35-6P RE, PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (drug candidate; prepn. of imidazole based LXR modulators and their

in the treatment of diseases)
918348-90-0 CAPLUS
1H-Imidazole-4-carboxamide, 2-[2-[1-methylethyl)phenyl]-1-[3-methyl-3'(methylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX

CAPLUS 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-1-[3-methyl-3' (methylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)-INDEX NAME)

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) (un) substituted alkylaryl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof are claimed. Example compd. V was prepd. by addn. of 2,5-dichloroaniline to omothiophene-2-carbonitrile; the resulting 5-bromo-N-(2,5-dichlorophenyl)thiophene-2-carboxamide underwent cyclization with 1-bromo-3,3,3-trifluoroacetone to give

2-(5-bromothien-2-y1)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-4,5-dihydro-lH-imidazol-4-ol, which underwent dehydration to give 2-(5-bromothien-2-y1)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-1H-imidazole, which underwent Suzuki cross-coupling with 3-methylsulfonylphenylboronic acid

underwent Suzuki cross-coupling with 3-methylsulfonylphenylboronic acid give compd. V. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was detd. that several of the tested compd. exhibited IC50 values of < 1 µM. Compds. of the invention, such as compds. of Formulas Is, Ib, Ic, or Id and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors, where R1, R2, R21, R3, and G are defined herein. Pharmaceutical compns. contg. the compds. and methods of using the compds. are also disclosed. 918348-89-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RTC (Reactant or reagent); USES (Uses) (drug candidate and intermediate; preparation of imidazole based LXR modulators and their use in the treatment of diseases) 918348-89-7 CAPLUS
IH-Imidazole-4-carboxamide, -chlorophenyl)-1-[3' (methylsulfonyl) [1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

918348-90-0P 918348-91-1P 918348-92-2P 918348-93-3P 918348-94-4P 918348-95-5P 918348-96-6P 918348-98-8P 918348-99-9 918349-00-5P 918349-01-6P 918349-02-7P

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 918348-92-2 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2,6-dichorophenyl)-1-[3'-(ethylaulfonyl)-3methyl[1,1'-biphenyl]-4-yl)-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

RN 918348-93-3 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2,6-dichlorophenyl)-N,N-diethyl-1-[3-methyl-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 918348-94-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-1-[3'(methylsulfonyl)[1,1'-biphenyl]-4-yl]-N-(2,2,2-trifluoroethyl)- (CA
INDEX
NAMR)

RN 918348-95-5 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2,6-dichlorophenyl)-N-(2-fluoroethyl)-1-(3'(methylsulfonyl)(1,1'-biphenyl)-4-yl]- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 918348-99-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-{3-chloro-3'-(methylaulfonyl)[1,1'-biphenyl]4-yl]-2-{2,6-dichlorophenyl}-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

RN 918349-00-5 CAPLUS CN 1H-Imidazole-4-carboxamide, 1-(3-chloro-3'-(methylaulfonyl)[1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-N-(2-fluoroethyl)- (CA INDEX NAME) L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 918348-96-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-N-ethyl-1-[3'(methylsulfonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 918348-98-8 CAPLUS
CN H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-N-(2-hydroxyethyl)-1[3'-(methylsulftonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

L6 ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 918349-01-6 CAPLUS
CN Glycine, N-[[1-[3-chloro-3'-{methylsulfonyl}](1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-1H-imidazol-4-yl]carbonyl]- (CA INDEX NAME)

RN 918349-02-7 CAPLUS CN 1H-Imidazole-4-carboxamide, 1-[3-chloro-3'-(eth/sulfonyl)[1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

RN 918349-03-8 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(methylaulfonyl)[1,1'-biphenyl]4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-1,1-dimethylethyl)- (CA INDEX NAME)

RN 918349-04-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(ethylaulfonyl)[1,1'-biphenyl]4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-1,1-dimethylethyl)- (CA INDEX NAME)

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 918349-07-2 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(eth)!sulfonyl)[1,1'-biphenyl]4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxyethyl)- (CA INDEX NAME)

RN 918349-08-3 CAPLUS
CN 1H-Inidazole-4-carboxemide,
1-(3-chlor-3-(methylsulfonyl))(1,1'-biphenyl)4-y1]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-2-methylpropyl)- (CA INDEX NAME)

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

918349-05-0 CAPLUS
1H-Imidazole-4-carboxamide,
-chloro-3'-(methylsulfonyl)[1,1'-biphenyl]4-yl]-2-(2,6-dichlorophenyl)-N-(1,1-dimethylethyl)- (CA INDEX NAME)

RN 918349-06-1 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[3-chloro-3'-(methylaulfonyl)[1,1'-biphenyl]4-yl]-2-(2,6-dichlorophenyl)-N-(2-hydroxyethyl)- (CA INDEX NAME)

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 918349-09-4 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-(3-chlor-3'-(cathylaulfonyl)[1,1'-biphenyl]4-y1]-2-(2,6-dichlorophenyl)-N-(2-hydroxy-2-methylpropyl)- (CA INDEX NAME)

918349-10-7 CAPLUS
IH-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-N-(2-hydroxy-1,1-dimethylethyl)-1-[3'-(methylaulfonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

918349-11-8 CAPLUS 1H-Imidazole-4-carboxamide, 2-(2,6-dichlorophenyl)-1-(3'-(ethylaulfonyl)(1,1'-biphenyl)-4-yl)-N-(2-hydroxy-1,1-dimethylethyl)-

RN 918349-12-9 CAPLUS
CN H-Imidazole-4-carboxamide,
2-(2,6-dichlorophenyl)-N-(1,1-dimethylethyl)-1[3-(methylaulfonyl) [1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN trifluoroethyl) - (CA INDEX NAME) (Continued)

REFERENCE COUNT: THIS 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 1 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 918349-35-6 CAPLUS
(N 1H-Imidazole-4-carboxamide,
1-(3-chlor-03-'(1-hydroxy-1-methylethyl)[1,1'-biphenyl]-4-yl]-2-(2,6-dichlorophenyl)-N-(2-bydroxy-1,1-dimethylethyl)-(CA INDEX NAME)

918350-02-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazole based LXR modulators and

(intermediate; preparation of imidazola their use in the treatment of diseases) RN 918350-02-4 CAPLUS CN 1H-Imidazole-4-carboxamide, 1-(4-bromophenyl)-2-(2-chlorophenyl)-N-(2,2,2-

L6 ANSWER 2 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
TITLE:
AUTHOR(S):

AUTHOR(S):

AUTHOR(S):

AUTHOR(S):

AUTHOR(S):

CORPORATE SOURCE:

CORPORATE SOURCE:

AUTHOR(S):

Elsevier Ltd. PUBLISHER:

DOCUMENT TYPE: LANGUAGE: Journal English

Aminopiperidinyl-substituted fused imidazoles such as pyrroloimidazole I+KCl are prepared as xanthine mimetics using a copper-catalyzed cyclocondensation of bromoaryl guandines as the key step; their inhibition of human dipeptidylpeptidase IV (DPPIV) and the selectivities of some of the compda. for DPPIV over DPPS, DPPS, and prolyl oligopeptidase are determined I binds to human DPPIV with a Ki value of

while binding to DDP8, DPP9, and prolyl oligopeptidase with Ki values > 3 μM . I is poorly bioavailable in rats, with a high clearance, low oral bioavailability, and low stability in the presence of rat plasma. Imidazolopyridazinedione II and an imidazoledicarboxamide related to I

prepared; II binds to DPPIV with a Ki value of 11 nM while binding to DDP8

DPP9, and prolyl oligopeptidase with Ki values > 3 µM and while being significantly more potent than I in the presence of plasma. I is not selective for human DPPIV over rat DPPIV. The crystal structure of I bound to human DPPIV is determined by X-ray crystallog. 918931-49-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of a aminopiperidinyl imidazoledicarboxamide with oved

improved plasma stability as an inhibitor of human dipeptidylpeptidase IV and

ANSWER 2 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) its selectivity for DPPIV over DPP8, DPP9, and prolyl oligopeptidase) 918931-49-4 CAPLUS INDEX NAME NOT YET ASSIGNED

● HC1

IT 91891-46-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of a aminopiperidinyl imidazoledicarboxamide with improved

Oved plasma stability as an inhibitor of human dipeptidylpeptidase IV and its selectivity for DPPIV over DPPS, DPP9, and prolyl oligopeptidase) 918911-6-1 CAPLUS INDEX NAME NOT YET ASSIGNED

918931-47-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of an aminopiperidinyl imidazopyridazinedione with

improved

L6 ANSWER 3 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
145:271779
Preparation of 1H-imidazole derivatives for use as modulators in the treatment of disorders involving cannabinoid CB2 receptors
Lange, Josephus H., M.; Stuivenberg, Herman H.; Van Viet, Bernard J.
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
PANILY ACC. NUM. COUNT:
PANILY ACC. NUM. COUNT:
1
PATENT INPROMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE			APPL	I CAT	ION	NO.		D	ATE	
						-					-	<i>-</i>			-		
WO	2006	0873	55		A1		2006	0824		WO 2	006-	EP60	009		2	0060	216
	W:	AE,	AG.	AL,	AM.	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN.	co.	CR.	CU.	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
										IS.							
		KZ,	LC.	LK.	LR.	LS.	LT.	LU,	LV.	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX.
										PH,							
										TR,							
					ZM,												
	PW+	AT,					CZ.	DE.	DK.	EE.	ES.	FI.	FR.	GB.	GR.	HU.	IÉ.
										PT,							
										ML.							
										sz,							
					RU.					~~.	,				,	,	
110	2006							0021		116 2	006-	2671	55		2	0060	214
					WI		2000	4031									
ORIT	Y APP	LN.	INFO	. :						EP 2	005-	1011	71	- 4	A 2	0050	216

US 2005-653091P P 20050216

MARPAT 145:271779

AB 1H-imidazole deriva. I, wherein Rlis H, halogen, (un)substituted alkyl, (un)substituted alkynyl, (un)substituted alkenyl, acetyl, cyclopropyl; R2 is (un)substituted Ph, (un)substituted heteroaryl, 4-10 membered monocyclic, fused bicyclic or fused tricyclic carbocyclic ring; R1 H, halogen, alkylsulfonyl, (un)substituted heteroaryl; R4 is an (un)substituted ketone or (un)substituted amide are prepared as modulators

for the treatment of disorders in which cannabinoid CB2 receptors are

SAEED

ANSWER 2 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) plasma stability as an inhibitor of human dipeptidylpeptidase IV and its selectivity for DPPIV over DPP8, DPP9, and prolyl oligopeptidase) 918931-47-3 CAPLUS
IH-Imidazole-5-carboxylic acid, 1-[(2-cyanophenyl)methyl]-2-[3-[{(1,1-dimethylethoxy)carbonyl]mino]cyclohexyl]-4-[(methylamino)carbonyl]-, 1,2-dimethylhydrazide (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 3 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) involved. Thus, II was prepd. and tested as for the in vitro affinity

human cannabinoid CB1 and CB2 receptors (pKi <6.0 and 7.3 resp.). Purther, I can be used in the treatment of neuropathic pain, cancers, allergies, multiple sclerosis, Huntington's disease, inflammatory and immune system disorders.

906804-65-7P

yubs04-65-7P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Usea)

(Uses)
(greparation of lH-imidazole derivs. for use as modulators in treatment of disorders involving cannabinoid CB2 receptors)
RN 906804-65-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-cyclopropyl-5-methyl-1-phenyl-N-{{2-

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSMER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
115:23063:
ITILE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
PAMELY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT INFORMATION:

COPPRISED TO SUPPLY ASSIGNEE(S):
PATENT INFORMATION:

COPPRISED TO SUPPLY ASSIGNEE(S):
PAMELY ACC. NUM. COUNT:
Japanese

1

2006:793003 CAPLUS

Amid Compounds as diacylglycerol acid compounds acid compo

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	ENT	NO.			KIN	D	DATE		' 7	APPL	ICAT	ION	ю.		D	ATE	
								••••								-		
	WO	2006	0829	52		A1		2006	0810	1	NO 2	006-	JP30	1942		2	0060	131
		W:	AE,	AG.	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR.	BW,	BY,	ΒZ,	CA,	CH,
			CN.	co.	CR,	CU.	CZ.	DE,	DK,	DM,	DZ,	EC,	EE.	EG,	ES,	FI,	GB,	GD,
			GE.	GH.	GM.	HR.	HU.	ID,	IL.	IN,	IS,	JP.	KE,	KG.	KM,	KN,	KP,	KR,
			MZ.	NA.	NG.	NI.	NO.	NZ.	OM.	PG.	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
	MZ, NA, SG, SK, VN, YU, RW: AT, BE, IS, IT,		YU.	ZA,	ZM,	ZW												
	KZ, LC MZ, NA SG, SK VN, YU RW: AT, BE IS, IT CP, CG GM, KE,		BE.	BG.	CH,	CY,	CZ,	DE.	DK,	EE,	ES,	FI.	FR,	GB,	GR,	HU,	IE,	
	MZ, NA SG, SK VN, YU RW: AT, BE IS, IT CP, CG GM, KE		IT.	LT.	LU.	LV.	MC,	NL.	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,	
	I C G		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	ΒY,
	CF, C		KZ.	MD,	RU,	TJ,	TM											
PRIO	RITY	APP	LN.	INFO	. :						JP 2	005-	2571	3		A 2	0050	201

OTHER SOURCE(S):

MARPAT 145:230632

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

AB The title compds. I [ring A represents an optionally substituted ring (which is not a pyrrolidine, piperidine or piperazine); ring B represents an optionally substituted aromatic ring; ring D represents an optionally substituted aromatic ring; ring D represents a hydrogen atom or a substituted ring; R1 and R2 independently represent a hydrogen atom or a cl-6 alkyl group, or alternatively it combines with the ring A to form a non-aromatic ring; excluding specified compds.] are prepared Thus,
N-(5-benzyl-4-phenyl-1,3-thiazol-2-yl)-4-(4-ethoxyphenyl)-4-oxobutanamide was prepared in 3 steps

ANSWER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 905589-73-3 CAPLUS 1H-Pyrrole-3-carboxamide, N-[2-[(4-ethoxybenzoyl)amino]ethyl]-2-methyl-1-[4-(methylthio)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A

905591-16-4 CAPLUS
1H-Pyrrole-3-carboxamide, N-[2-((4-ethoxybenzoyl)amino]ethyl]-2-methyl-5-phenyl-1-(4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSMER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) from phenetole and succinic anhydride. 19 Compds. of this invention showed ICSO values \$ 10 nM against diacylglycerol acyltransferase. Formulations are given.

IT 905589-72-2P 905589-73-3P 905591-16-4P 905591-17-5P RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Uses)
(Uses)
(IPreparation of amide compds. as diacylglycerol acyltransferase inhibitors)
RN 905589-72-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-[2-[(4-ethoxybenzoyl)amino]ethyl]-1-(4-methoxyphenyl)-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

L6 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

$$\Diamond$$

905591-17-5 CAPLUS
1H-Pyrrole-3-carboxamide, N-[2-{(4-ethoxybenzoyl)amino]ethyl]-2-methyl-5-phenyl-1-(phenylmethyl)- (9C1) (CA INDEX NAME)

L6 ANSWER 4 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued) PAGE 1-A

REFERENCE COUNT: FORMAT

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) NCS, cyano, NO2, OAC, acyloxy, arcyloxy, acylamino, alkoxy, substituted carbocyclyl, heterocyclyl, etc.; R3 = specified 5-6 membered ring, bicycloheptyl, adamantyl, fused ring system, etc.; R4 = H, halo, N3, NCS, Ph, cyano, NO2, carbocyclyl, heterocyclyl, arxl, heteroaryl; azabicycloheptyl, etc.], were claimed. Thus, title compd. (II) showed

IT

azabicycioneptyl, etc.], were claimed. Inus, title compd. (17) showed

receptor binding with Ki = 1.2 nM.

897924-69-5 897924-74-2 897924-85-5

897924-86-6 897924-74-2 897924-88-8

897925-16-5 897925-18-7 897925-19-8

897925-26-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(preparation of imidazoles and pyrazoles as CB1 and/or CB2 cannabinoid receptor ligands)

897924-69-5 CAPUIS

1H-Imidazole-4-carboxamide, 1-(4-bromophenyl)-N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-N-methyl- (9CI) (CA INDEX NAME)

897924-74-2 CAPLUS
1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-1-(4-iodophenyl)-N-methyl- [9CI] (CA INDEX NAME)

897924-85-5 CAPLUS
IH-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-N,5-dimethyl-1-(4-(1H-cetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006:677655 CAPLUS
DOCUMENT NUMBER: 145:124571

DOCUMENT NUMBER:

145:124571
preparation of imidazoles and pyrazoles as CB1 and/or CB2 cannabinoid receptor ligands.
Makriyannis, Alexandros; Thotapally, Rajesh; Vemuri, Venkata Kiran Rao; Olszewska, Teresa Vemuri, Venkata, Kiran, Rao, USA PCT Int. Appl., 92 pp.
CODEN: PIXXD2
Patent
English 1 TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA1	ENT :	NO.			KIN	D	DATE			APPL	CAT	ION I	vo.		D	ATE	
						-									-		•
WO	2006	0744	45		A2		2006	0713	1	10 2	006-1	US72	D		2	0060	110
WO	2006	0744	45		A3		2006	0928									
-	W:	AE.	AG.	AL,	AM,	AT,	AU,	AZ.	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH
							DE,										
							ID,										
							LT,										
							NZ,										
							TJ.										
		VN.	YU.	ZA.	ZM.	2W											
	RW:	AT.	BE.	BG.	CH.	CY.	cz,	DE,	DK.	EE,	ES.	FI.	FR,	GB,	GR,	HU,	IE
							MC.										
		CF.	CG.	CI.	CM.	GA,	GN,	GQ,	GW.	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH
							NA.										
		KG.	KZ.	MD.	RU,	TJ.	TM		-								
ORIT	APP					-,			1	US 2	005-	6425	44P		P 2	0050	110

OTHER SOURCE(S): MARPAT 145:124571

Title compds. e.g. [I; A, B = bond, O, (CH2)1R5; B = bond, O, NR5; R5 =

(substituted) alkyl; 1 = 0, 1; R1, R2 = (CH2)n2; n = 0-7; Z = H, halo,

ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

897924-86-6 CAPLUS

H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-N,5-dimethyl-1-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

897924-87-7 CAPLUS

1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-N,5-dimethyl-1-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

897924-88-8 CAPLUS
1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2.4-dichlorophenyl)-5-(hydroxymethyl)-N-methyl-1-[4-(1H-tetrazol-5-yl)phenyl)- (9CI) (CA INDEX NAME)

897924-89-9 CAPLUS
1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-N-methyl-1-[4-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI)
(CA INDEX NAME)

ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

897925-16-5 CAPLUS
1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-1-(4-(3-hydroxy-1-propynyl)phenyl]-N,5-dimethyl- (9CI) (CA INDEX NAME) RN

HO- CH2- C

RN 897925-18-7 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[4-(3-azido-1-propony1)pheny1]-N-cyclohexy12-(2,4-dichloropheny1)-N,5-dimethy1- (9CI) (CA INDEX NAME)

897925-19-8 CAPLUS
1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-1-[4-(3-isothiocyanato-1-propynyl)phenyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)

897924-90-2 CAPLUS
1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-5-(hydroxymethyl)-N-methyl-1-[4-(2-methyl-2H-tetrazol-5-yl)phenyl]- (9CI)
(CA INDEX NAME)

897925-15-4 CAPLUS
1H-Imidazole-4-carboxamide, N;cyclohexyl-2-(2,4-dichlorophenyl)-1-[4-(4-hydroxy-1-butynyl)phenyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 5 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

897925-26-7 CAPLUS
1H-Imidazole-4-carboxamide, N-cyclohexyl-2-(2,4-dichlorophenyl)-1-[4-(3-hydroxypropyl)phenyl]-N,5-dimethyl- (SCI) (CA INDEX NAME)

L6 ANSMER 6 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006:634010 CAPLUS DOCUMENT NUMBER: 145:103674 TITLE: Preparation of 1,2-diarylimid 145:103674
Preparation of 1,2-diarylimidazoles as CB1 modulators for treating obesity, psychiatric and neurological

INVENTOR (5) :

disorders
Cheng, Leifeng
Astrazeneca AB, Swed.; Astrazeneca UK Limited
PCT int. Appl., 57 pp.
CODEN: PIXXD2
Patent
English PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA?	ENT	NO.			KIN	a	DATE			APPL	CAT	ION	NO.		D	ATE	
						-									-		
WO	2006	0674	28		A2		2006	0629	1	WO 2	005-0	3B49	56		2	0051	221
	W:	AE.	AG.	AL.	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN.	co.	CR.	CU.	CZ.	DE,	DK,	DM.	DZ,	EC,	EE,	EG,	ES,	PI,	GB,	GD,
		GE.	GH.	GM.	HR.	HU,	ID,	IL,	IN,	IS,	JP.	KE,	KG,	KM,	KN,	ΚP,	KR,
		KZ.	LC.	LK.	LR.	LS.	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO.	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC.	SD,	SE,
		SG.	sK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UΑ,	UG.	υs.	υz.	۷¢,
			YU.														
	RW:	AT.	BE.	BG.	CH,	CY,	CZ,	DE,	DK.	EE,	ES,	PI,	FR,	GΒ,	GR,	HU,	ΙE,
		IS.	IT.	LT.	LU.	LV,	MC.	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	cc.	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝĖ,	SN,	TD,	TG,	BW,	GH,
		GM,	KE.	LS,	MW,	MZ,	NA,	SD,	SL.	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ.	MD,	RU,	TJ,	TM					`					

GB 2004-28073 A 20041223 PRIORITY APPLN. INFO .:

GB 2005-14348 A 20050713

OTHER SOURCE(S): MARPAT 145:103674

The title compds. I [R1 = (un)substituted alkoxy, O(CH2)pPh (p = 1-3), etc.; Ra = halo, alkyl, alkoxy; m = 0-3; R2 = alkyl, alkoxy, OH, NO2, CN or halo; n = 0-3; R3 = XYNR7R8 (X = CO or SO2) Y = absent or

ANSWER 6 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

895136-73-9 CAPLUS
1-Butanesulfonic acid, 4,4,4-trifluoro-, 4-[2-(3-cyano-5-fluorophenyl)-4[(1-ethylbutyl)amino|carbonyl]-5-(hydroxymethyl)-1H-imidazol-1-yl]phenyl
ester (9C1) (CA INDEX NAME)

ANSWER 6 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) (un)substituted NH; R7, R8 = alkyl, cycloalkyl, cycloalkylalkylene,

etc.), oxazolyl, thiazolyl, etc.; R4 = alkyl substituted by OH, (un) substituted NH2], useful in the treatment of obesity, psychiatric and neurol. disorders, were prepd. E.g., a multi-step synthesis of propane-1-sulfonic acid 4-[2-(2,4-dichlorophenyl)-5-hydroxymethyl-4-(piperidin-1-ylcarbamoyl)imidazol-1-yl]phenyl ester (II), starting from p-anisidine

2,4-dichlorobenzonitrile, was given. Compds. I are active at the CB1 receptor (ICSO <1 μ M). Most preferred compds. have ICSO < 200 nM. Por example, II showed ICSO of 3 nM. Pharmaceutical compn. contg. compd. I

ΙT

disclosed.
895136-60-4P 895136-68-2P 895136-73-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Preparation of disrylimidazoles as CB) modulators for treating

(preparation of diarylimidazoles as CB1 modulators for treating

tty,
 psychiatric and neurol. disorders)
s95136-60-4 CAPLUS
1-Propanesulfonic acid, 3,3,3-trifluoro-, 4-{2-(3-cyanophenyl)-5(hydroxymethyl)-4-{[[1-(hydroxymethyl)-3-methylbutyl]amino}carbonyl]-1Himidazol-1-yl)phenyl ester (9CI) (CA INDEX NAME)

895136-68-2 CAPLUS
1-Propanesulfonic acid, 3,3,3-trifluoro-, 4-{2-(2-chlorophenyl)-4-[[(1,4-dimethylpentyl)amino]carbonyl]-5-(hydroxymethyl)-1H-imidazol-1-yl]phenylester (9C1) (CA INDEX NAME)

L6 ANSMER 7 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006:456735 CAPLUS DOCUMENT NUMBER: 145:145480 TITLE: Solution Phase Synthesis of a

145:145880 Solution Phase Synthesis of a Library of Tetrasubstituted Pyrrole Amides Blanchi, Ivana; Porlani, Roberto; Minetto, Giacomo; Peretto, Ilaria; Regalia, Nickolas; Taddei, Maurizio; Raveglia, Luca F. Nikem Research, Baranzate, Hilan, 20021, Italy Journal of Combinatorial Chemistry (2006), 8(4), AUTHOR(S):

CORPORATE SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

CE: Journal of Combinatorial Chemistry (2006), 8(4),
491-499
CODEN: JCCHFF; ISSN: 1520-4766
AMERICAN Chemical Society
MENT TYPE: Journal
UAGE: English
An efficient strategy for the solution-phase parallel synthesis of a

AB An efficient strategy for the solution pulse.

library
of pyrrole amides is described. Key reactions include functional homologation of \$\beta\$-ketcesters with a set of aldehydes followed by oxidation to produce a series of differently substituted 1,4-dicarbonyl compds. Rapid cyclization using a microwave-assisted Paal-Knorr reaction provided a set of 24 pyrrole esters that were further functionalized through a trimethylaluminum-mediated aminolysis to obtain a larger
library

library
of 288 diverse pyrrole-3-amides. The tetrasubstitution allows a good
exploration of the chemical space around the central pyrrole core. The

step was entirely automated with a Bohdan Myriad personal synthesizer. 898222-10-1P 898222-12-3P RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP

RN 898222-12-3 CAPLUS
CN 1H-Pyrcole-3-carboxamide,
5-phenyl-1-(2-phenylethyl)-2-(phenylmethyl)-N-(2-thenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 7 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: THIS

THERE ARE 32 CITED REFERENCES AVAILABLE FOR 32

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) onset of and/or inhibition of diabetes mellitus type I, and the prophylaxis and treatment, of obesity as well as the prophylaxis, treatment, delayed onset and/or inhibition of its concomitant and/or secondary diseases or conditions, in, particular the metabolic syndrome and/or syndrome X, and/or diabetes mellitus type II, in mammals and humans. The invention is further directed to such novel pharmaceutical comprise comprising a dually acting compd. with combined KATP channel opening and CB1 antagonistic properties. The test confirms the lack of agonist effect and the potency of the candidate com-pounds to inhibit glucose-stimulated insulin release and thus their potential to preserve pancreatic beta cell function and to prevent or delay the progression of diabetes. Thus, (45)-3-4(-chlorophenyl)-N'-[4/-chlorophenyl)sulfonyl]-N-methyl-4-35-phenyl-4,5-dihydro-1-H-pyrazole-1-carboximidamide (I) produced

a sustained non-dose-dependant redn. in rats body wt. at all dosed administered. Capsules contained I 50, corn starch 150, lactose 150, tale

administered. Capsules contained I 50, corn starch 150, lactose 150, 15, magnesium stearate 15, and corn starch 20 mg. 505073-48-3, 1-(4-Bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl-1H-imidazole-4-carboxamide 505073-66-5, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-1N,N-diethyl-1H-imidazole-4-carboxamide 505074-51-1 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compna. comprising CB1 receptor antagonists and potassium channel openers for treatment of diabetes mellitus type I, obesity and related conditions) 505073-48-3 CAPLUS IH-Imidazole-4-carboxamide, 1-(4-bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl- (9CI) (CA INDEX NAME)

505073-66-5 CAPLUS
1H-Imidazole-4-carboxamide,
6-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006:411734 CAPLUS DOCUMENT NUMBER: 144:456511 144:455511
Phermaceutical compositions comprising CB1 receptor antagonists and potassium channel openers for the treatment of diabetes mellitus type I, obesity and TITLE: related conditions INVENTOR(S): Jochen; Pirnges, Michael; Gregory, Peter-Colin; Antel, Lange, Josephus Hubertus Maria: Maldeck, Harald Solvay Pharmaceuticals GmbH, Germany PCT Int. Appl., 51 pp. CODEN: PIXXD2 Patent PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE MO 2006045799 A2 20060504 W0 2005-EP5534 20051025

W: AE, AG, AL, AM, AT, AL, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, GG, KM, KP, KR, KZ,
IN, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, CV, VN,
YU, ZA, ZM, ZW

RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SS, SI, SK, TR, BF, BJ,
CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BM, GM,
GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

US 2006128673 A1 20060615 US 2005-257056 20051025

PRIORITY APPLN. INFO.: US 2004-621077P P 20041025 US 2005-651625P P 20050211

OTHER SOURCE(S):

AB Described is a novel combination therapy for diabetes mellitus type I and/or for obesity and its concomitant and/or secondary diseases or conditions, in particular the metabolic syndrome and/or syndrome X,

conditions, in particular the metabolic symptome and, or and/or diabetes mellitus type II, by administering a combination of at least one KATP channel opener as a first active agent and at least one CB1 cannabinoid receptor antagonist as a second active agent. The invention is further directed to such novel combination therapy wherein a dually acting compound with combined KATP channel opening and CB1 antagonistic properties is used. The invention also relates to novel pharmaceutical compns. comprising KATP channel openers and CB1 antagonists and the use of

said pharmaceutical compns. in the treatment, delayed progression, delayed

ANSWER 8 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

505074-51-1 CAPLUS
1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[(4-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME) RN CN

L6 ANSWER 9 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2006:332235 CAPLUS DOCUMENT NUMBER: 144:350539 TITLE: Preparation of Preparation of pyrrolecarboxamide derivatives mineralocorticoid receptor antagonists for us

against

INVENTOR (S)

cancer and other disorders
Canne Bannen, Lynne; Chen, Jeff; Dalrymple, Lisa
Eather; Flatt, Brenton T.: Poreyth, Timothy Patrick;
Gu, Xiao-Hu; Mac, Morrison B.; Mann, Larry W.: Mann,
Grace; Martin, Richard; Mohan, Raju; Murphy, Brett;
Nyman, Michael Charles; Stevens, William C., Jr.;
Wang, Tie-Lin; Wong, Yong; Wu, Jason H.
Exelixis, Inc., USA
PCT Int. Appl., 477 pp.
CODEN: PIXXD2
Patent

PATENT ASSIGNEE (S) : SOURCE:

Patent English

DOCUMENT TYPE: LANGUAGE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. DATE KIND A2 20060202 WO 2005-US26916
A3 20060727
AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY,
CU, C2, DE, DK, DM, D2, EC, EE, EG, ES,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MM,
NZ, OM, RG, PH, PL, PT, RO, RU, SC, SD,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, WO 2006012642 WO 2006012642 20050730 012642
AE, AG, AL,
CN, CO, CR,
GE, GH, GM,
LC, LK, LR,
NG, NI, NO,
SL, SM, SY,
ZA, ZM, ZW
AT, BE, BG,
IS, IT, LT,
CF, CG, CI,
GM, KE, LS,
MG, KE, LS,
MG, KE, LS,
MG, KG, LS,
MD, CA, GB, KR, MZ, BZ, FI, KP, MX, SE, VC,

CH, CY, CZ, DE, DK, EE, ES, PI, PR, GB, GR, HU, IE, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, RU, TJ, TM

KG. KZ.

US 2004-592439P P 20040730 PRIORITY APPLN. INFO.:

US 2004-592469P P 20040730

OTHER SOURCE(S):

MARPAT 144:350539

ANSWER 9 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ANSWER 9 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Pyrrolecarboxamide derivs. (shown as I; other Markush structures for pyrrolecarboxamides are defined in the claims; variables defined below; e.g. 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid N-[4-(sulfamoyl)phenyl]mide (II)), compns. and methods for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of \$1 symptoms of disease or disorder directly related to the activity of 23 examples of I are tabulated and compared to the activity of 23 examples of I are tabulated and compared to the activity of the Spironolactone control. For II Rl and R2 = H, halo, cyano, or (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkylakyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or (clo)N(R9)2; R] = H, halo, cyano, (un)substituted alkyl, (un)substituted alkyl) or (un)substituted alkyl, un)substituted alkyl, (un)substituted alkyl, cycloalkylakyl, or (un)substituted alkyl, cycloalkylakyl, rycloalkyl, rycloalkyl, eryl, aralkyl, heterocyclyl, heterocyclyl, heterocyclyl, heterocyclyl, eryl, aralkyl, heterocyclyl, heterocyclyl, theterocyclyl, eryl, aralkyl, heterocyclyl, heterocyclyl, heterocyclyl, aralkyl, or heterocyclyl, heterocyclylakyl, aryl, aralkyl, the methods of preparsa indomethods of preparsa mod/or characterization for many examples of I are included. For example, II was prepared in 5

for many examples of I are included. For example, II was prepared in 5 steps (50, 37, 62, 64, and 66 % yields, resp.) starting with preparation

1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole from 4-fluoro-2-(trifluoromethyl)aniline and 2,5-hexanedione, followed by preparation of the following intermediates: 1-[4-fluoro-2-trifluoromethyl)henyl]-2,5-dimethyl-1H-pyrrole-3-carboxaldehyde, 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid and finally amide formation with sulfanilamide.
880779-33-9P, 5-[4-Pluorophenyl)-2-methyl-1-[2-trifluoromethylphenyl]-1H-pyrrole-3-carboxylic acid dimethylamide RL: PAC (Pharmacological activity); SFN (Synthetic preparation): THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolecarboxamide derivs. as mineralocorticoid receptor antagonists for use against cancer and

disorders)
880779-33-9 CAPLUS
1H-Pyrrole-3-carboxamide, 5-(4-fluorophenyl)-N,N,2-trimethyl-1-[2-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2005:1354478 CAPLUS COPYRIGHT 144:88561

TITLE: Preparation of amino acid heterocyclic derivatives

treatment of hyperlipidemia and related diseases Sircar, Jagadish C.; Thomas, Richard J.; Khatuya, Haripada; Nikoulin, Igor Avanir Pharmaceuticals, USA PCT Int. Appl., 106 pp.
CODEN: PIXXD2
Patent
English 1 INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE MNO 20051213686 A1 20051229 MO 2005-US20660 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BM, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MG, NI, NO, NZ, OM, FG, PH, PL, PT, RO, RU, SC, SL, SM, SY, TJ, TM, TM, TR, TT, TZ, UA, UG, US, ZA, ZM, ZW
RM: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, RO, SE, SI, SK, TR, SP, BJ, CP, CO, CI, CM, GA, WI, SCOSCO9487 A1 20060112 US 2005-149067 PRIORITY APPLN. INFO:: A1 20051229 WO 2005-US20660 20050609
AM, AT, AU, AZ, BA, BB, BG, BR, BM, BY, BZ, CA, CH,
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA,
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, UG, CY, MC, GN, ZM, ZW, AM, CZ, DE, DK, NL, PL, PT, GQ, GW, ML,

The invention provides compns. adapted to enhance reverse cholesterol transport in mammals and which are suitable for oral delivery and useful in the treatment and/or prevention of hypercholesterolemia, atherosclerosis and associated cardiovascular diseases. Mediators of

cee cholesterol transport comprise a structure having components A, B and C, where A comprises an acidic moiety having an acidic group or a bioisostere, B comprises an aromatic or lipophilic moiety having at

ANSWER 10 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) portion of MMGCOA reductase inhibitor or an analog, and C comprises a basic moiety having a basic group or bioisostere. An example describes the synthesis of lipophilic group-modified peptide sequence I.TFA based

ΙT

atorwastatin.
872406-24-1P 872406-25-2P 872406-26-3P
872406-27-4P
RT. PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of amino acid heterocyclic derivs. for treatment of hyperlipidemia and related diseases)
872406-24-1 CAPLUS
Pentanoic acid, 4-[[4-[(2-(acetylamino)-5-[(aminoiminomethyl)amino]-1-oxopentyl]amino]-2-methyl-1,5-diphenyl-1H-pyrrol-3-yl]carbonyl]amino]-5-amino-5-oxo- (9CI) (CA INDEX NAME)

872406-25-2 CAPLUS
Butanoic acid, 4-[[[4-[[5-[(aminoiminomethyl)amino]-1-oxopentyl]amino]-2-methyl-1,5-diphenyl-1H-pyrrol-3-yl]carbonyl]amino]- (9CI) (CA INDEX

872406-26-3 CAPLUS
Pentanoic acid, 4-(acetylamino)-5-[{4-[{1-(aminocarbony1)-4-(aminoimomethyl)amino)butyl}amino]carbonyl]-5-methyl-1,2-diphenyl-1H-pyrrol-3-yl]amino]-5-oxo- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2005:1154377 CAPLUS COCUMENT NUMBER: 413:422349 TITLE: Preparation of imidazole derive

OTHER SOURCE(S):

143:422349
Preparation of imidazole derivatives for promoting smoking cessation
Gardell, Stephen J.
Bayer Pharmaceuticals Corporation, USA
PCT Int. Appl., 176 pp.
CODEN: PIXXD2
Patent

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

P.	ATENT	NO.			KIN	D	DATE			APPL	I CAT	ION	NO.		D	ATE	
-						-									-		
W	0 200	50997	05		A2		2005	1027	1	WO 2	005-	US89	04		. 2	0050	318
W	0 200	50997	105		A3		2006	0119									
	W:	AE,	AG.	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI.	GB,	GD,
	GE, G LK, I NO, N		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ.	LC,
	GE, GI LK, LI NO, N		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	LK, L NO, N		NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,
	LK, LI NO, N SY, T																
ZW	LK, L NO, N SY, T																
	LK, NO, I SY, SY, RW: BW, G		GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ.	UG,	ZM.	ZW.	AM.
			BY,														
		EE,	ES.	FI,	FR.	GB,	GR.	HU,	IE.	IS.	IT.	LT.	LU.	MC.	NL.	PL.	PT.
			SE,														
			NE.														
PRIORI	TY AP	PLN.	INFO	. :					1	JS 2	004-	5559	20P		P 3	0040	324

MARPAT 143:422349

11

The title compds. I [R1, R2 = (un)substituted Ph, alkyl, (un)substituted cyclohexyl, etc.; R3 = H, alkyl, CH2Ph, Cl, Br; X = CONRARS (wherein R4 = H, alkyl; R5 = (un)substituted alkyl, bicycloalkyl, CH2Ph, etc.; or NRFS = (un)substituted S-10 membered (un)saturated heterocyclyl), CONHSOZR10 (R10 =

SAEED

ANSWER 10 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 872406-27-4 CAPLUS
CN Pentanoic acid,
5-[[4-[[4-(aminoiminomethyl)amino]butyl]amino]cerbonyl]5-methyl-1,2-diphenyl-1H-pyrrol-3-yl]amino]-5-oxo- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(un)substituted alkyl, Ph, benzocyclohexyl, benzocyclopentyl)] which are
useful in promoting smoking cessation and maintaining abstinence, were
prepd. E.g., a 2-step synthesis of II, starting from 2-chloro-N-(4chlorophenyl)benzenecarboximidamide and Et 3-bromo-2-oxopentanoate, was
given. The pharmaceutical compns. comprising the compd. I in combination
with one or more nicotine replacement therapies or one of more nicotinic
receptor modulators are disclosed.
527369-03-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); RTU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RTC (Reactant or reagent); USES (Uses)
(preparation of imidazole derivs. for promoting smoking cessation)
527369-03-5 CAPLUS
LH-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-Nmethoxy-N-methyl- (9CI) (CA INDEX NAME)

527367-84-6P 527368-19-0P 527368-57-6P
527368-66-7P 527368-71-4P 527370-18-9P
527370-23-6P 527370-28-1P 527370-33-8P
527370-47-4P 527370-52-1P 527370-68-9P
527370-73-6P 527370-77-0P 527370-82-7P
527370-73-6P 527370-719-3P 527371-24-0P
527371-53-5P 527375-14-0P 527375-87-7P
527371-92-1P 527375-99-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Usea)
(preparation of imidazole derivs. for promoting smoking cessation)

(Uses) (preparation of imidazole derivs. for promoting smoking cessation) 527367-84-6 CAPLUS
1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-cyclohexyl-N-methyl- (SCI) (CA INDEX NAME)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527368-19-0 CAPLUS CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N,Ndimethyl- (9CI) (CA INDEX NAME)

RN 527368-57-6 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-methylN-(1-methyl-3-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

HC1

RN 527370-18-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2{1-piperidinyl}ethyl]-(9CI) (CA INDEX NAME)

RN 527370-23-6 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 527370-28-1 CAPLUS

SAEED

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

• HCl

RN 527368-66-7 CAPLUS
CN IH-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-(1R,2R)-2-(methylamino)cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• HC1

RN 527368-71-4 CAPLUS
CN H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-((15,28)-2-(methylamino)cyclohexyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSMER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Imidazole-4-carboxamide,
2-(4-chloropheny)-1-(2,4-chloropheny)1)-N-[2(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 527370-33-8 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[3(dimethylamino)propyl]- (9CI) (CA INDEX NAME)

RN 527370-47-4 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-propyl(9CI) (CA INDEX NAME)

ANSMER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 527370-52-1 CAPLUS
1H-Imidazole-4-carboxamide, 2-{2-chlorophenyl}-1-{4-chlorophenyl}-N-{1-chlypropyl}- (9CI) (CA INDEX NAME)

RN 527370-68-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[{2,3-dhydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

RN 527370-73-6 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[{2,4-dichlorophenyl)methyl]- [9C1) (CA INDEX NAME)

ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527370-87-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

527371-19-3 CAPLUS
1H-Imidazole-4-carboxamide, 2-{2,4-dichlorophenyl}-N-[{{1R,2R}}-2-hydroxycycloheptyl}methyl}-1-(4-methoxyphenyl)-, rel- {9CI} (CA'INDEX NAME)

Relative stereochemistry.

SAEED

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527370-77-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

527370-82-7 CAPLUS
1H-Imidazole-4-carboxamide,
4-chlorophenyl)-2-(3,4-dichlorophenyl)-N-[3{1-pyrrolidinyl}propyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

527371-24-0 CAPLUS
1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[[(1R,2S)-2-hydroxycyclohexyl]methyl]-1-(4-methoxyphenyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

527371-53-5 CAPLUS
IH-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1-chyl-3-pyrrolidinyl)methyll-, monohydrochloride (9CI) (CA INDEX NAME)

RN 527375-14-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,4-dimethoxyphenyl)methyl]-N-[(2R,3R)-1,2,3,4-tetrahydro-3-hydroxy-2-naphthalenyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

527375-87-7 CAPLUS
1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[(1R)-2-hydroxy-1-phenylethyl)-1-(4-mathoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

527375-90-2 CAPLUS
IH-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-((1S)-2-hydroxy-1-phenylethyl)-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 11 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527375-94-6 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1R)2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

527375-99-1 CAPLUS
1H-Imidazole-4-carboxamide,
-chlorophenyl)-1-(4-chlorophenyl)-N-[(1S)2-hydroxy-1-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 12 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN

ACCESSION NUMBER: 2005:1026892 CAPLUS

DOCUMENT NUMBER: 13:326363

Preparation of substituted imidazoles as calcium ion channel modulators

INVENTOR(S): Zelle, Robert: Galullo, Vincent P.

SCURCE: Scion Pharmaceuticals, Inc., USA; Wyeth PCT Int. Appl., 52 pp.

CODENT TYPE: PLANGUAGE: English

PAMILY ACC. NUM. COUNT: 1

PATENT INCOMPATION:

DOCUMENT TYPE: LANGUAGE: PAMILY ACC, NUM. COUNT: PATENT INFORMATION:

		CENT :															ATE	
							-									-		
	WO	2005	0869	02		A2		2005	0922		WO 2	005-	US79	13		2	0050	307
	WO	2005	0869	0.2		AR		2006	0706									
								AU,			20	BC.	80	DW	BV	87	Ch	~u
								DE,										
								ID,										
			LK,	LR,	LS,	LT,	LU.	LV.	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NΑ,	NI,
			NO.	NZ,	OM,	PG,	PH,	PL.	PT,	RO.	RU,	SC.	SD.	SE.	SG.	SK,	SL.	SM,
			SY.	TJ.	TM.	TN.	TR.	TT.	TZ.	UA.	UG.	us.	UZ.	VC.	VN.	YU.	ZA.	ZM.
ZW			,	,		,			,	••••			,			,	,	,
		mu.	DW	CH	CM	VP		MW.	M7	272	cn	61	67	-	110	714	mu.	24
		KH:																
								RU,										
			EE,	ES,	ΡI,	FR,	GB,	GR,	ΗU,	ΙE,	ıs,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
			RO,	SE,	SI,	SK,	TR,	BF,	BJ,	CF,	CG.	CI,	CM,	GΑ,	GN,	GQ,	G₩,	ML,
			MR.	NE.	SN.	TD.	TG											
	AU	2005	2211	3.8		A1		2005	0922		AU 2	005-	2211	3.8		2	0050	307
		2557																
		1722																
	EP																	
		R:						cz,										
			ıs,	IT,	LI,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,	BA,
			HR.	LV.	MK,	Yυ												
PRIO	RITY	APP									US 2	004 -	5513	94P		P 2	0040	308
																_		

WO 2005-US7913 W 20050307

OTHER SOURCE(S): MARPAT 143:326363

The title imidazoles I [Arl = (un)substituted cycloalkyl, aryl, heterocyclyl or heteroaryl; R1 = Ar2, alkyl optionally substituted with

ANSWER 12 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Ar2 (wherein Ar2 = (un) substituted cycloalkyl, aryl, heterocyclyl or
heteroaryl); R2 = CO2R3, COAr3, CONR3R4, Ar3, CH2NR3R4; (R3 = H, alkyl;

heteroaryl); R2 - CO2R3, COAr3, COAR3A4, Ar3, CH2MRR4; (R3 = H, alkyl;

- H, alkyl, CO2R5, etc.; R5 = H, alkyl, haloalkyl, etc.; Ar3 - (un)aubstituted cycloalkyl, aryl, heterocyclyl or heteroaryl)) which can be used for the therapeutic modulation of ion channel function, and treatment of disease and disease symptoms, particularly those mediated by certain calcium channel subtype targets, were claimed. E.g., a 2-step synthesis of II, starting from Et 2-(2-methoxyphenyl)-1-p-tolyl-1H-imidazole-4-carboxylate (prepn. given), was given. Oocyte assays, HEK assays, and formalin tests were carried out (data given for compds. 1).

185079-40-99

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[preparation of substituted imidazoles as calcium ion channel modulators)

modulators | 865079-40-9 CAPLUS | 865079-40-9 CAPLUS | 1-1 midazole-1 methylphenyl) - (9Cl) (CA INDEX NAME)

ANSWER 13 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN WO 2005-US7667

MARPAT 143:326362

$$Ar^{1}-X-Y \xrightarrow{\bigvee_{\substack{N \\ p_1}}} So_q R^2$$

The title imidazoles such as I (Ar1 - (un) substituted cycloalkyl, aryl, heterocyclyl or heteroaryl; X - NR3, C(R3)2, O; Y - C(O), alkylene; R1 = Ar2, alkyl optionally substituted with Ar2 (wherein Ar2 = (un) substituted cycloalkyl, aryl, heterocyclyl) or heteroaryll; q - 0-2; R2 - (CH2)mCO2R3, (CH2)mC(O)Ar3, (CH2)mAr3, etc. (R3 - H, alkyl; m = 1-2; Ar3 = (un) substituted cycloalkyl, aryl, heterocyclyl or heteroaryll) which can be used for the therapeutic modulation of ion channel function, and treatment of disease and disease symptoms, particularly those mediated by certain calcium channel subtype targets, were prepared E.g., a isstep symthesis of II, starting from p-toluidine, was given. Occyte assays,

HEK

assays, and formalin tests were carried out (no data given).

856079-40-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted imidazoles as calcium ion channel modulators)

RN 855079-40-9 CAPLUS

CN 1H-Imidazole-4-carboxamide, N-methoxy-2-(2-methoxyphenyl)-N-methyl-1-(4-methylphenyl); (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1026876 CAPLUS
TITLE: 143:22662 Preparation of substituted imidazoles as calcium ion channel modulators
INVENTOR(S): Zelle, Robert; Galullo, Vincent P.; Baker, Todd; Will, Paul; Frazee, William J.; Mazdiyasni, Hormoz; Guo, Jinsong Scion Pharmaceuticals, Inc., USA PCT Int. Appl., 430 pp. CODEN: PIXXD2 Patent English

INVENTOR(S): Christopher

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT:

PATENT INFORM	ATION:				
				APPLICATION NO.	
WO 200508	36836	A2	20050922	WO 2005-US7667	
((1 1 8	CN, CO, CR, GE, GH, GM, LK, LR, LS, NO, NZ, OM,	CU, CZ, HR, HU, LT, LU, PG, PH,	DE, DK, ID, IL, LV, MA, PL, PT,	BA, BB, BG, BR, BW, DM, DZ, EC, EE, EG, IN, IS, JP, KE, KG, MD, MG, MK, MN, MW, RO, RU, SC, SD, SE, UA, UG, US, UZ, VC,	ES, FI, GB, GD, KP, KR, KZ, LC, MX, MZ, NA, NI, SG, SK, SL, SM,
) 1 1	AZ, BY, KG, EE, ES, FI, RO, SE, SI, MR, NE, SN,	KZ, MD, FR, GB, SK, TR, TD, TG	RU, TJ, GR, HU, BF, BJ,	NA, SD, SL, SZ, TZ, TM, AT, BE, BG, CH, IE, IS, IT, LT, LU, CF, CG, CI, CM, GA,	CY, CZ, DE, DK, MC, NL, PL, PT, GN, GQ, GW, ML,
CA 255763 EP 172313	17	A1 A2	20050922 20061122	AU 2005-220911 CA 2005-2557637 EP 2005-725050	20050307 20050307
	IS, IT, LI.			DK, EE, ES, FI, FR, PL, PT, RO, SE, SI, US 2004-551372P	SK, TR
	-			US 2004-551395P	
				US 2004-551473P	
				US 2004-551474P US 2004-551480P	
				US 2004-551503P	
				US 2004-551510P US 2004-551620P	

ANSWER 13 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2005:220141 CAPLUS DOCUMENT NUMBER: 142:280212 Preparation of 1H-imidazole-4-c 142;280212
Preparation of 1H-imidazole-4-carboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurological disorders Kruse, Cornelis G.; Lange, Josephus H. M.; Herremans, Arnoldus H. J.; Van Stuivenberg, Herman H. Solvay Pharmaceuticals B.V., Neth. U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. Ser. No. 490,019.
CODEN: USXXCO INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

Patent English

DOCUMENT TYPE: LANGUAGE: PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	TENT	NO.			KIN	D	DATE				LICAT						
							-							-		-		
											us :	2004-	9121	71		2	0040	806
	US	7109	216			B2		2006	0919									
	WO	2003	0270	76		A2		2003	0403	,	WO :	2002-	EP10	434		2	0020	917
		2003																
	"0										RR	, BG,	RR.	BY.	BZ.	CA.	CH.	CN.
												EE,						
												KG.						
												, MW,						
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	sĸ	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA.	UG.	US.	UZ.	VC.	VN.	Yυ,	ZA,	ZM	, ZW						
		RW:										, TZ,		ZM,	ZW.	AM,	AZ,	BY,
			KG	KZ.	MD.	RIL	T.T.	TM.	AT.	BE.	BG	CH,	CY.	CZ.	DE.	DK.	EE.	ES.
												PT.						
												NE,				,		
			ÇG,		CM,	un,	Giv,			и.,		, ,,,	4000	,		-	0040	210
												2004 -						
	US	2005	2671	61		Al		2005	1201		us :	2005-	1382	89		2	0050	527
10	RIT	APE	LN.	INFO	.:						EP :	2001-	2038	51		A 2	0010	921

WO 2002-EP10434 W 20020917

US 2004-490019 A2 20040319

US 2004-574939P P 20040528

CASREACT 142:280212; MARPAT 142:280212

ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) dichlorophenyl)-N.N.5-trimethyl-1H-imidazole-4-carboxamide 505074-05-5P, 1-(4-chlorophenyl)-2-(2-methoxy-4-chlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 1-(4-chlorophenyl)-2-(2-fluoro-4-chlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-6P, (2-Chlorophenyl)-1-(3-fluorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-21-5P, 2-(2-Chlorophenyl)-1-(3-fluorophenyl)-N-[2-(4-fluorophenyl)-1+3-Fluorophenyl)-N-[2-(4-fluorophenyl)-5-methyl-1H-imidazole-4-carboxamide 505074-23-8P, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-1H-imidazole-4-carboxamide 505074-23-P, 1-(4-chlorophenyl)-5-methyl-N-(3-fluorophenyl)-N-(4-fluorophenyl)-5-methyl-N-(3-fluorophenyl)-1-(4-chlorophenyl)-1-1-H-imidazole-4-carboxamide 505074-51-1P, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-1-1-H-imidazole-4-carboxamide 505074-51-1P, 1-(4-chlorophenyl)-1-1-H-imidazole-4-carboxamide carboxamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(CB1 modulator; prepn. of imidazolecarboxamides as CB1 agonists, partial agonists, or antagonists for treatment of psychiatric and neurol. disorders)
505073-22-5 CAPUS
1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

505073-48-3 CAPLUS
IH-Imidazole-4-carboxamide, 1-(4-bromopheny1)-2-(2,4-dichloropheny1)-5-ethyl-N-pentyl-(9CI) (CA INDEX NAME)

ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. I (wherein R = (un) substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, or triazinyl; RI = (un) substituted AB Ph

or pyridinyl; R2 = H or (cyclo)alkyl or (cyclo)alkenyl optionally interrupted by S. O, or N; R3 = (un)substituted (cyclo)alkyl, (cyclo)alkoxy, bicycloalkyl, tricycloalkyl, or (cyclo)alkenyl optionally interrupted by N, O, or S; or R3 = pyridinyl or Ph when R4 = H; or R3 = NRSR6 when R2 = H or Me; or NR2R3 = (un)substituted heterocyclyl; R4 H, halo, CM, carbamoyl, formyl, acctyl, CP3CO, FCH2CO, EtCO, sulfamoyl, MeSO2, MeS, or (un)substituted heterocyclyl; and prodruge, stereoisomers, and salts thereof) were prepared as potent cannabinoid (CB1) receptor

agonists, or antagonists. For example, reaction of 4-chloroaniline with 2,4-dichlorobenzonitrile in the presence of sodium bis(trimethylsilyl)amide in THF provided N-(4-chlorophenyl)-2,4-dichlorobenzencerboxamidine (42%). Cyclization of the carboxamidine

with Et 3-bromo-2-oxopropanoate in a solution of NaHCO3 and isopropanol gave

imidazolecarboxylate (29%), which was converted to the imidazolecarbonyl chloride (no data). Amidation with 1-aminopiperidine using TEA in CH2Cl2 afforded II (26%). Selected I bound to hCB1 receptor with pKI values in the range of 7.0 to 8.4. I are useful for the treatment of psychiatric and neurol. disorders, as well as and other diseases involving cannabinoid

abinoid
neurotransmission (no data).
505073-32-5P, N-(Benzyl)-1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)N-methyl-1H-imidazole-4-carboxamide 505073-48-3P,
1-(4-Bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl-1H-imidazole-4carboxamide 505073-56-3P, 1-(4-chlorophenyl)-2-(2,4dichlorophenyl)-N-(4-fluorobenzyl)-1H-imidazole-4-carboxamide
505073-63-2P, 1-(4-chlorophenyl)-2-(2-methoxy-4-chlorophenyl)-Npentyl-1H-imidazole-4-carboxamide 505073-65-5P,
1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N.N-diethyl-1H-imidazole-4carboxamide 505073-71-2P, 1-(4-chlorophenyl)-N-(2,2,2trifluorocthyl)-2-(2-trifluoromethyl-4-chlorophenyl)-H-imidazole-4carboxamide 505073-89-2P, 1-(4-Chlorophenyl)-1-H-imidazole-4carboxamide 505073-89-2P, 1-(4-Chlorophenyl)-2-(2,4-

ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

505073-56-3 CAPLUS 1H-1midazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-((4-fluorophenyl)methyl)- (9CI) (CA INDEX NAME)

505073-63-2 CAPLUS 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methoxyphenyl)-1-{4-chlorophenyl}-N-pentyl- (9CI) (CA INDEX NAME)

RN 505073-66-5 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-

L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) diethyl- (9CI) (CA INDEX NAME)

RN 505073-71-2 CAPLUS
CN H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-[4-chloro-2-(trifluoromethyl)phenyl)-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 505073-89-2 CAPLUS
CN IH-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)N.N.5-trimethyl- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 505074-18-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(3-fluorophenyl)-5-methylN-pentyl- (9CI) (CA INDEX NAME)

RN 505074-21-5 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(3-fluorophenyl)-N-[2-(4-fluorophenyl)ethyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 505074-32-8 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-(2-fluoroethyl)-5-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 505074-05-5 CAPLUS
CN H-Imidazole-4-carboxamide, 2-(4-chloro-2-methoxyphenyl)-1-(4-chlorophenyl)-5-methyl-N-pentyl- (9CI) (CA INDEX NAME)

RN 505074-13-5 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chloro-2-fluorophenyl)-1-(4-chlorophenyl)5-methyl-N-pentyl- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 505074-36-2 CAPLUS
CN H-Imidazole-4-corboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N[(4-fluorophenyl)methyl]-5-methyl- (9C1) (CA INDEX NAME)

RN 505074-50-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5methyl-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 505074-51-1 CAPLUS

CN 1H-Imidazole-4-carboxamide, 1-{4-chlorophenyl}-2-(2,4-dichlorophenyl)-5methyl-N-[{4-(trifluoromethyl)phenyl}methyl]- (9CI) (CA INDEX NAME)

ANSWER 14 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ANSWER 15 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
C1) to modulate the GABA function.
850339-40-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(preparation and GABA-A receptor binding structure-activity of
Lituted
diphenylimidazoles)
850339-40-1 CAPLUS
HI-Tmidazole-4-carboxamide, 2-(4-bromophenyl)-1-(2,4-dichlorophenyl)-N,N-diethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THERE ARE 25 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2005:164961 CAPLUS DOCUMENT NUMBER: 142:411290

DOCUMENT NUMBER:

142:411290
Synthesis, Structure-Activity Relationships at the GABAA Receptor in Rat Brain, and Differential Electrophysiological Profile at the Recombinant Human GABAA Receptor of a Series of Substituted 1,2-Diphenylimidazoles Asproni, Battistina; Talani, Giuseppe; Busonero, Fabio; Pau, Amedeo; Sanna, Sebastiano; Cerri, Riccardo; Mascia, Maria Paola; Sanna, Enrico; Biggio, Giovanni TITLE

AUTHOR (S) :

CORPORATE SOURCE: Universita Dipartimento Farmaco Chimico Tossicologico,

di Sessari, Sassari, Italy Journal of Medicinal Chemistry (2005), 48(7), 2518-2645 CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society Journal English CASREACT 142:411290 SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

A series of new 1,2-diphenylimidazole derivs. I (R = H. Me, CO2H, CO2Me, CO2Et, CO2Pt, CONEt2, etc.; X = H, F, Cl, Br, iodo, Me, OMe, NO2, NH2, NHAC; X1 = H, 3-Cl, 4-Cl, 4-F, 3,4-CL2, 2,4-Cl2) were synthesized and evaluated for their ability to potentiate γ-aminobutyric acid (GABA)-evoked currents in Xenopus laevis oocytes expressing recombinant human GABAA receptore. Many of these compds. enhanced GABA action with potencies (ECSO = 0.19-19 μM) and efficacies (maximal efficacies of up to 640%) similar to or greater than those of anesthetics such as etomidate, propofol, and alphaxalone. Structure-activity relationship anal. revealed that the presence of an ester moiety in the imidazole ring was required for full agonist properties, while modifications made in the Ph rings affected potency and efficacy, with II (X = Br) showing the highest potency. These compds, potentiated the (3H)GABA binding to a thrain membranes, suggesting a site of interaction different from that of GABA. As for etomidate, mutation of asparagine-265 in the β2 subunit * of the GABAA receptor into serine reduced the ability of derivative II

L6 ANSWER 16 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2004:996115 CAPLUS DOCUMENT NUMBER: 141:410930

TITLE: cyclooxygenase Preparation of imidazole derivatives as

(COX) inhibitors Takahashi, Pumie; Terasaka, Tadashi; Morita, INVENTOR(S):

Konishi, Nobukiyo; Nakamura, Katsuya Pujisawa Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 71 pp. CODEN: PIXXD2 Patent

PATENT ASSIGNEE (S) :

DOCUMENT TYPE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

1		ENT					D											
		2004																
		2004												• •		-		
,	WO																	
		w:																CH,
																		GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,
			LK.	LR.	LS.	LT.	LU.	LV.	MA,	MD,	MG.	MK,	MN.	MW,	MX.	MZ,	NA.	NI.
			NO.	NZ.	OM.	PG.	PH.	PL.	PT.	RO.	RU.	SC.	SD.	SE.	SG.	SK.	SL.	SY.
																		ZW
		RW:																
		ж.							TJ,									
									ΗU,									
							ВJ,	CF,	CG,	CI,	CM,	ĢΑ,	GN,	GQ,	GW,	ML,	MR,	NE,
					TG													
	CA	2524	889			A1		2004	1118		CA 2	004-:	2524	889		2	0040	426
1	EΡ	1620	406			A2		2006	0201		EP 2	004-	7295	17		2	0040	426
		R:	AT.	BE.	CH.	DE.	DK.	ES.	FR,	GB.	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.
									MK.									
HR			,	,	,	,			,	,	,	,	,	,	,	,	,	,
		1784						2006				004	0001			-		420
,	-n	2006	300			_		2006	0007		CN Z	004-	5001	23/2				
											JP Z	006-	50 / /.	23			0040	
PRIOR	ITY	APP	LN.	INFO	. :						AU 2	003-	9022	80		A 2	0030	508
											AU 2	003 -	9038	61		A 2	0030	724
												003-						
											AU 4	003+	7040			. 2	0030	001
											WO 2	004-	JP59	87		W 2	0040	426

OTHER SOURCE(S): MARPAT 141:410930

ANSWER 16 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

Title compds. I [wherein R1 = (un)substituted (cyclo)alkyl, carbamoyl, cyano, formyl, carboxy or carbonyl; R2 = hydroxy, halo, cyano, or alkoxy; R3 = alkoxy or amino; X, Y = CH or N; et al., or pharmaceutically acceptable salts thereof], were prepared as cyclooxygenase (COX)

acceptable salts thereof], were prepared as cyclooxygenase (CCX) inhibitors.

8.g., addition reaction of p-anisidine with

6-methoxy-3-pyridinecarbonitrile
using NaHMDS as base (58.4%) followed by cyclization with
3-bromo-1,1:trifluoro-2-propanone (21.5%) gave imidazole II. Tested
compds. I, including II, showed effective analgesic activity
(coefficient 31.5)

fficient >1.5)
on adjuvant arthritis at a dose of 3.2 mg/kg, and selectively inhibited COX-I with IC50 (μM) of <0.01 against COX-I (νs. ≥ 0.1 against COX-II). I are therefore useful for the treatment and/or prevention of the diseases associated with COX, such as inflammation, pain, collagen, automumune, immunity, thrombosis, cancer and neurodegenerative diseases. 726196-53-8P 726196-55-0P

726196-31-8P 726196-55-0P
RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Usea) (COX inhibitor; preparation of imidazoles as cyclooxygenase (COX)

161015078)
161-1636-53-8 CAPLUS
161-1616201e-4-carboxamide, N-ethyl-2-(4-methoxyphenyl)-N-methyl-1-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME) inhibitors)

ANSWER 16 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

792930-95-1 CAPLUS
1H-Imidazole-4-carboxamide, N-ethyl-1,2-bis(4-methoxyphenyl)-N-methyl-(9CI) (CA INDEX NAME)

ANSWER 16 OP 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 726196-55-0 CAPLUS
1H-Imidazole-4-carboxamide, N.N-diethyl-2-(4-methoxyphenyl)-1-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME) (Continued)

726196-54-9P 726196-56-1P 792910-95-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Usea)
(COX inhibitor; preparation of imidazoles as cyclooxygenase (COX)
inhibitors)
726196-54-9 CAPUS
HI-Inidazole-4-carboxamide, N-ethyl-1-(4-hydroxyphenyl)-2-(4methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

726196-56-1 CAPLUS
1H-Imidazole-4-carboxamide, N,N-diethyl-1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 17 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 2004:963181 CAPLUS
DOCUMENT NUMBER: 141:379941
TITLE: Preparation of quinazoline-2,4

141:379941
Preparation of quinazoline-2,4-diamines as melanin concentrating hormone (MCH) receptor antagonists Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera, Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold Taisho Pharmaceutical Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 988 pp. CODEN: JKCKAP
Patent
Japanese
1

INVENTOR(S):

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 2004315511 PRIORITY APPLN. INFO.: Α 20041111 JP 2004-95046 JP 2003-93418 20040329 A 20030331

OTHER SOURCE(S): MARPAT 141:379941

. STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT .

The title compds. Q-L-Y-R1 [Q = Q1, H2NC(:NH); wherein R2 = NHNH2, NHNHBOC, (un) substituted NH2, morpholino, 4-acetyl-piperazinyl, 4-phenylipterazinyl; R1 = each (un) substituted C1-16 alkyl, C2-8 alkenyl, C2-4 alkynyl, C3-6 cycloalkyl, C3-6 cycloalkenyl, carbocyclyl, newyliferayl

C2-4 alkynyl, C3-6 cyclosinyl, C3-0 cyclic
coyclic
alkyl, or heterocyclyl; L = each Q2-Q6 or its cis- or trans-isomer,
Q7-Q16; R4 = H, C1-3 alkyl; R5 = H, each (un)substituted carbocyclic aryl
or C1-3 alkyl; Y = SO2, CO, a single bond, CH2] or salts thereof are
prepared These compds. are MCH receptor antagonists and used for

or the advantage of these compds are MCH receptor entagonists and used for regulating orphan G protein-coupled receptor. SLC-1 and for the prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression. Thus, hydrogenolysis of benzyl cis. [4.4-(4-dimethylaminoquinazolin-2-ylaminolcyclohexyl]methyl]cerbemate over 5% Pd-C in McOH at 50° under H atmospheric for 3 days gave a solution of cis-[(4-(4-dimethylaminoquinazolin-2-ylaminolcyclohexyl]methyl]amine in McOH which underwent reductive alkylation with 4-bromo-2-trifluoromethoxybenzaldehyde and NaBH(OAc)3 in AcOH/CH2Cl2 to give, after purification using HPLC and treatment with 4 N HCL/EtOAc, compound (I).2HCl. In a high throughput function screen for identifying lead compds. I.2HCl inhibited the human MCH-induced cellular Ca2+ flux with ICSO of 6 µg/mL.

IT 510743-47-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRPP (Preparation); USES (Uses)

(preparation of quinazoline derivs. as melanin-concentrating hormone (MCH) receptor (MCH) receptor antagonists for prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression)

ANSWER 17 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 510743-47-2 CAPLUS 1H-Pyrrole-3-carboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinylamino]cyclohexyl]methyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 18 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) between the imidazole I and rimonabant. A structure-activity stionship (SAR) study revealed a close correlation between the biol. results in the imidazole and pyrazole series.

505074-51-1P 796875-36-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of imidazole, thiazole, and triazole analogs of one capture of the control of the con

796875-36-0 CAPLUS 1H-Imidazole-4-carboxamide, -chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl-5-methyl- (9CI) (CA INDEX NAME)

IT 505073-66-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazole, thiazole, and triazole analogs of rimonabant as
potent and selective CB1 cannabinoid receptor antagonists)
RN 505073-66-5 CAPUS
CN 1H-Imidazole-4-carboxamide,
SAEED ophenyl)-2-(2,4-dichlorophenyl)-N,N-

L6 ANSWER 18 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
142:219202
Bioisosateric Replacements of the Pyrazole Moiety of Rimonabant: Synthesis, Biological Properties, and Molecular Modeling Investigations of Thiazoles, Triazoles, and Imidazoles as Potent and Selective CB1 Cannabinoid Receptor Antagonists
AUTHOR(S):
Lange, Jos H. M.; van Stuivenberg, Herman H.; Coolen, Hein K. A. C.; Adolfs, Tiny J. P.; McCreary, Anderw C.; Keizer, Hiskiss G.; Wals, Henri C.; Veerman, Willem; Borst, Alice J. M.; de Looff, Mouter;

Peter C.; Kruse, Chris G.
Research Laboratories, Solvay Pharmaceuticals, Meesp,
1381 CP, Neth.
Journal of Medicinal Chemistry (2005), 48(6),
1823-1838
CODEN: JMCMAR; ISSN: 0022-2623
American Chemical Society
Journal
English
CASREACT 142:219202 CORPORATE SOURCE:

SOURCE: -

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

Series of thiazoles, triazoles, and imidazoles were designed as bioisosteres, based on the 1,5-diarylpyrazole motif that is present in AB

the potent CB1 receptor antagonist rimonabant. A number of target compds.

synthesized and evaluated in cannabinoid (hCB1 and hCB2) receptor assays. The thiazoles, triazoles, and imidazoles elicited in vitro CB1 antagonistic activities and in general exhibited considerable CB1 vs CB2 receptor subtype selectivities, thereby demonstrating to be cannabinoid bioisosteres of the original diarylpyrazole class. Some key representatives in the imidazole series showed potent pharmacol. in vivo activities after oral administration in both a CB agonist-induced hypothemian model and a CB agonist-induced hypothemia model. Mol. modeling studies showed a close three-dimensional structural overlap were

ANSWER 18 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN diethyl- (9CI) (CA INDEX NAME) (Continued)

REFERENCE COUNT:

THERE ARE 55 CITED REFERENCES AVAILABLE FOR

PORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:589538 CAPLUS
DOCUMENT NUMBER: 141:140442
Preparation of pytrole and imidazole derivatives as

l receptor inverse agonists Mayweg, Alexander; Marty, Hans Peter; Mueller, INVENTOR (S):

Narquizian, Robert; Neidhart, Merner; Pflieger, Philippe; Roever, Stephan F. Hoffmann-La Roche A.-G., Switz. PCT Int. Appl., 197 pp. CODEN: PIXXD2 Patent English 1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA?	CENT :	NO.			KIN	D	DATE			APPL	CAT	ION	NO.		D.	ATE	
	WO	2004	0608	70		A1	_	2004	0722	1	WO 2	003-	EP14	720		2	0031	222
		w:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ĒS,	FI,	GB,	GD,	GE,
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,
			LR.	LS,	LT.	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO.	NZ,
			OM,	PG.	PH.	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ΤJ,	TM,
			TN.	TR.	TT,	TZ,	UA,	UG,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		RW:	BW.	GH.	GM.	KE.	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,
			BY,	KG,	KZ,	MD,	RU,	TJ.	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,
			ES.	FI,	FR.	GB,	GR,	HU,	IE,	IT,	LU,	MC.	NL,	PT,	RO,	SE,	SI,	SK,
			TR.	BF.	BJ.	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD.
TG																		
	CA	2511	859			A1		2004	0722		CA 2	003-	2511	859		2	0031	222
	AU	2003	2982	27		A1					AU 2							
	US	2004	1671	29		A1					US 2							
	EP	1583	742			A1		2005	1012		EP 2	003-	7959	49		2	0031	222
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT.
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	ΗU,	sĸ,	
	BR	2003	0179	26		A		2005	1129		BR 2	003-	1792	6		2	0031	222
	CN	1735	593			A		2006	0215		CN 2	003-	8010	8268		2	0031	222
	JP	1735 2006	5212	81		т		2006	0921		JP 2	004 -	5642	10		2	0031	222
PRIC	RIT	Y APP	LN.	INFO	. :						EP 2	003-	3			A 2	0030	102

WO 2003-EP14720

MARPAT 141:140442 OTHER SOURCE(S):

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN dimethoxyphenyl) -2-methyl- (9CI) (CA INDEX NAME) (Continued)

725740-63-6 CAPLUS
1H-Pyrrole-3-carboxamide, 5-[3,5-bis(trifluoromethyl)phenyl]-N-butyl-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

725740-74-9 CAPLUS
1H-Imidazole-4-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-(2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. I (X = C, N; R1 = H, alkyl; R2 = alkyl, cycloalkyl, etc.;

R3

- cycloalkyl, Ph, substituted Ph, etc.; R4 = heteroaryl, Ph, substituted Ph, etc.; R5, R6 = H, alkyl, halomethyl; m = 0, 1, 2) and pharmaceutically acceptable salts are prepared Thus, 1-cyclohexylmethyl-2-methyl-5-(4-pyrrolidin-1-yl)phenyl-1H-pyrrole-3-carboxylic acid butylamide was prepared and showed excellent affinity for CB 1 receptor. Formulations

prepared
and showed excellent affinity for CB 1 receptor. Formulations
containing I
were given. The compds, are useful for the treatment and/or
prophylaxis
of diseases which are associated with the modulation of CB 1 receptors.
IT 725740-41-0P 725740-43-2P 725740-63-6P
725740-74-9P
RL: PAC (Pharmacological activity); SFN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uaes)
(preparation of pyrrole and imidazole derivs. as CB 1 receptor inverse
agoniats)
RN 725740-41-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-[4-(1pyrrolidinyl)phenyl)- (9CI) (CA INDEX NAME)

725740-43-2 CAPLUS 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,5-

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 725743-35-1P 725743-35-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrrole and imidazole deriva. as CB 1 receptor inverse agonists) 725743-35-1 CAPLUS
1-Piperidinecarboxylic acid. 4-[[[1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-1H-pyrrol-3-yl]carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 2-8

IT

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

725740-24-9 CAPLUS
1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3,4-dkchlorophenyl)-2-methyl- (9CI) (CA INDEX RAME)

RN 725740-25-0 CAPLUS CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(4-methoxyphenyl)-2-methyl- (SCI) (CA INDEX NAME)

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-26-1 CAPLUS CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

725740-27-2 CAPLUS
1H-Pyrrole-3-carboxamide,
ttyl-5-(4-cyanophenyl)-1-(cyclohexylmethyl)-2methyl- (9CI) (CA INDEX NAME)

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

725740-28-3 CAPLUS
1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

725740-29-4 CAPLUS
1H-Pyrrole-3-carboxamide,
5-bie(1,1-dimethylethyl)-4-hydroxyphenyl]-N-butyl-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 725740-30-7 CAPLUS CN 1H-Pyrrole-3-carboxamide, N-butyl-5-(4-chlorophenyl)-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 725740-31-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-(4-methylphenyl)- (9C1) (CA INDEX NAME)

RN 725740-32-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-35-2 CAPLUS
CN IH-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,4dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 725740-36-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
5-(4-bromophenyl)-N-butyl-1-(cyclohexylmethyl)-2methyl- (9Cl) (CA INDEX NAME)

SAEED

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-33-0 CAPLUS CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 725740-34-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
N-butyl-1-(cyclohexylmethyl)-5-(4-fluorophenyl)2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-37-4 CAPLUS CN 1H-Pyrrole-3-carboxamide, N-butyl-5-(3-cyanophenyl)-1-(cyclohexylmethyl)-2methyl- (9CI) (CA INDEX NAME)

RN 725740-38-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,4-dimethylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 725740-39-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-[4-(difluoromethoxy)phenyl]-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

725740-42-1 CAPLUS
1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

725740-44-3 CAPLUS
1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3,4-difluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

725740-47-6 CAPLUS
1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-{4-(trifluoromethoxy)phenyl)- (9CI) (CA INDEX NAME)

725740-49-8 CAPLUS
1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(3,4-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

SAEED

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-45-4 CAPLUS CN 1H-Pyrrole-3-carboxamide, N-buty1-5-(3-chlorophenyl)-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

725740-46-5 CAPLUS
IH-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-{4-(diethylamino)phenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN RN 725740-50-1 CAPLUS CN 1H-Pyrrole-3-carboxamide, N-butyl-5-(2-chlorophenyl)-1-(cyclohexylmethyl)-2-methyl- (9CI) (CA INDEX NAME) (Continued)

725740-51-2 CAPLUS
1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

725740-53-4 CAPLUS
1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-methyl-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continue

RN 725740-58-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,5difluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 725740-59-0 CAPLUS
CN IH-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(4-hydroxy-3-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continue

RN 725740-66-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N,2-dimethyl- (9CI) (CA INDEX NAME)

RN 725740-67-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2methyl-N-[(1R)-1-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

SAEED

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725740-60-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
N-butyl-1-(cyclohexylmethyl)-5-(3-fluorophenyl)2-methyl- (9CI) (CA INDEX NAME)

RN 725740-62-5 CAPLUS
CN H-Pyrrole-3-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-(2,5-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSMER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)
RN 725740-71-6 CAPLUS
CN 1H-Indidazole 4-carboxamide, N-butyl-2-(2-chlorophenyl)-1(cyclohexylmethyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 725740-72-7 CAPLUS
CN 1H-1midazole-4-carboxamide, N-butyl-1-[(4-chlorophenyl)methyl]-2-(4-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 725740-73-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(cyclohexylmethyl)-2-(4-methoxyphenyl)-5-methyl- (9C1) (CA INDEX NAME)

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

725740-75-0 CAPLUS
1H-Imidazole-4-carboxamide, N-butyl-1-[(4-chlorophenyl)methyl)-2-(2-methoxyphenyl)-5-methyl- (9CI) (CA INDEX NAME)

725740-77-2 CAPLUS
1H-Imidazole-4-carboxamide, N-butyl-1-(cyclopropylmethyl)-2-(2-methoxyphenyl)-5-methyl- [SCI] (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

725740-89-6 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-(3-hydroxypropyl)-2-methyl- (9CI) (CA INDEX NAME) 'RN CN

735740-90-9 CAPLUS IH-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-N-(cyclopropylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continue 725740-80-7 CAPLUS | COPYRIGHT 2007 ACS on STN (Continue 725740-80-7 CAPLUS | COPYRIGHT 2007 ACS on STN (Continue 725740-80-7 ACS on STN (C (Continued)

725740-81-8 CAPLUS
1H-Imidazole-4-carboxamide, N-butyl-2-(2-chlorophenyl)-1-(cyclopropylmethyl)-5-methyl- (9CI) (CA INDEX NAME)

725740-87-4 CAPLUS
1H-Imidazole-4-carboxamide, N-butyl-2-(2-chlorophenyl)-1-(2-cyclohexylethyl)-5-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

725740-92-1 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-(2-furnylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

725740-93-2 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-((3-methyl-2-thienyl)methyl)- (9CI) (CA INDEX NAME)

725740-94-3 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N[(1-ethyl-2-pyrrolidinyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

725740-95-4 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-(3,3,3-trifluoropropyl)- (9Ci) (CA INDEX NAME)

725740-96-5 CAPLUS
HH-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-((18)-1-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

CM 2

CRN 76-05-1 CMP C2 H F3 O2

725741-23-1 CAPLUS .
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-(2-methoxyethyl)-2-methyl- (9CI) (CA INDEX NAME)

SAEED

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

725740-97-6 CAPLUS
1H-Imidazole-4-carboxamide, N-butyl-2-(5-chloro-2-methoxyphenyl)-1-(cyclohexylmethyl)-5-methyl- (9CI) (CA INDEX NAME)

725740-98-7 CAPLUS
1H-Imidazole-4-carboxamide, N-butyl-2-(5-chloro-2-methoxyphenyl)-1-(2-cyclohexylethyl)-5-methyl- (9CI) (CA INDEX NAME)

725741-22-0 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-(4-piperidinylmethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725741-21-9 CMF C27 H39 N3 O3

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

725741-32-2 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-N-(2-hydroxyethyl)-2-methyl- (9CI) (CA INDEX NAME)

725741-33-3 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-N-[(5-cyclopropyl-1H-pyrazol-3-yl)methyl]-5-(2,5-dimethoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

725741-34-4 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dimethoxyphenyl)-2-methyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

RN 725741-36-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-methyl-2-[4(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725741-56-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(2-cyclohexylethyl)-5-methyl-2-(4-methylphenyl) (QA INDEX NAME)

RN 725741-57-1 CAPLUS
CN IH-Pyrrole-3-carboxamide, 5-(3,5-difluorophenyl)-2-methyl-1-(2-phenylethyl)-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

RN 725741-67-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(cyclohexylmethyl)-2-(5-fluoro-2-SAEED

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725741-17-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(2-cyclohexylethyl)-5-methyl-2-[4-(trifluoromethoxy)phenyl)- (9CI) (CA INDEX NAME)

RN 725741-55-9 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-1-(cyclohexylmethyl)-5-methyl-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) methylphenyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

RN 725741-69-5 CAPLUS
CN IH-Imidazole-4-carboxamide, N-butyl-2-(5-chloro-2-methylphenyl)-1(cyclohexylmethyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 725741-70-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methylphenyl)-1(cyclohexylmethyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725741-71-9 CAPLUS
CN IH-Imidazole-4-carboxemide, N-butyl-1-(2-cyclohexylethyl)-2-(5-fluoro-2-methylphenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 725741-72-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(5-chloro-2-methylphenyl)-1-(2-cyclohexylethyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725741-78-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-butyl-2-(4-chloro-2-methylphenyl)-1-(cyclohexylmethyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 725741-87-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[3,5-bis(trifluoromethyl)phenyl]-1-[(3-fluorophenyl)methyl]-2-methyl-N-[(1R)-1-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725741-73-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(5-chloro-2-methylphenyl)-1(cyclohexylmethyl)-5-methyl-N-(3,3,3-trifluoropxopyl)- (9CI) (CA INDEX NAME)

RN 725741-75-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methylphenyl)-1-(2-cyclohexylethyl)-5-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725741-92-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(2,5-dimethoxyphenyl)-2-methyl-1-(2-phenylethyl)-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

RN 725741-93-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
N-(cyclopropylmethyl)-5-(2,5-dimethoxyphenyl)-2methyl-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 725741-98-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-{2,5-dimethoxyphenyl}-1-{2-(3-fluorophenyl)ethyl}-2-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued NAME)

RN '725741-99-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
N-(cyclopropylmethyl)-5-(2,5-dimethoxyphenyl)-1[2-(3-fluorophenyl)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 725742-08-5 CAPLUS
(N 1H-Pyrrole-3-carboxamide, 5-{3,5-bis(trifluoromethyl)phenyl}-1-(cyclohexylmethyl)-2,4-dimethyl-N-[{1S}-1-methylpropyl}- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725742-17-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclopropylmethyl)-5-(5-fluoro-2-methoxyphenyl)-2-methyl-N-[(1R)-1-methylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

RN 725742-19-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclopropylmethyl)-5-(5-fluoro-2-methoxyphenyl)-2-methyl-N-(3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)

RN 725742-34-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-dichlorophenyl)-2-methyl-N-[[(2R)-cetrahydro-2-furanyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

SAEED

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Absolute stereochemistry.

RN 725742-10-9 CAPLUS
CN IH-Pyrrole-3-carboxamide, 5-{3,5-bis(trifluoromethyl)phenyl}-1(cyclopropylmethyl)-2-methyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX NAMS)

Absolute stereochemistry.

RN 725742-13-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
5-(5-[loro-2-methoxyphenyl)-2-methyl-N-[[1S]-1-methylpropyl]-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725742-35-8 CAPLUS
NH -Pyrrole-3-cerboxamide, 1-(cyclohexylmethyl)-5-(2,5-dichlorophenyl)-2-methyl-N-[[(28)-tetrahydro-2-furanyl]methyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 725742-38-1 CAPLUS
CN HH-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-difluorophenyl)-N[[(1R,25)-2-hydroxycyclohexyl]methyl]-2-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued

RN 725742-39-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-(2,5-difluorophenyl)-N[(1R, 2R)-2-hydroxycyclohexyl]methyl]-2-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 725742-43-8 CAPLUS
CN 1H-Pyrrole-3-carboxemide, 1-(cyclohexylmethyl)-5-(2,4-dichloro-5-fluorophenyl)-N-[(1-hydroxycyclohexyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725742-48-3 CAPLUS
CN 1H-Pyrrole-3-carboxomide, N-(cycloheptylmethyl)-1-(cyclohexylmethyl)-2methyl-5-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 725742-52-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-5-{2-fluoro-5-(trifluoromethyl)phenyl]-2-methyl-N-[(tetrahydro-2-furanyl)methyl]- (9CI)(CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725742-44-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(cyclohexylmethyl)-N-(cyclopropylmethyl)-5(2,4-dichloro-5-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 725742-47-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N,1-bis(cyclohexylmethyl)-2-methyl-5-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 725742-56-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-[2-chloro-5-(trifluoromethyl)phenyl]-1(cyclohaxylmethyl)-N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-2-methyl(9C1) (CA INDEX NAME)

RN 725742-62-1 CAPLUS
CN H-Pyrrole-3-carboxamide, 5-(2-chloro-4,5-difluorophenyl)-N,1-bis(cyclohexylmethyl)-2-methyl- (SCI) (CA INDEX NAME)

ANSWER 19 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 725742-89-2 CAPLUS
1H-Imidazole-4-carboxamide, 2-(5-chloro-2-fluorophenyl)-1-{2-cyclopropylethyl)-5-methyl-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (9CI) (CA INDEX NAME)

725742-92-7 CAPLUS
1H-Imidazole-4-carboxamide, 2-(2-chloro-5-(trifluoromethyl)phenyl]-1-(cyclohexylmethyl)-5-methyl-N-[2-(tetrahydro-2H-pyran-4-yl)ethyl]- (9CI)(CA INDEX NAME)

ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Imidazole and triazole derivs. were prepared for use as selective COX-1 inhibitors for treatment and/or prevention of inflammatory conditions, various pains, collagen diseases, autoimmune diseases, thrombosis, cancer or neurodegenerative diseases. Thus, 4-PhCH2OCH2CH2CSH4NH2 was treated with 4-MeOCSH4CN to give 4-PhCH2OCH2CH2CSH4NHC(:NH)CSH4ONE-4 which was cyclized with BrCH2OCGP3 and debenzylated to give the imidazole I. I had ICSO for COX-1 inhibition of < 0.01 and an analgesic coefficient

CSO for LOA-1 ALLIANCE CONTROL OF A STATE CONTROL O

ce; (preparation of imidazole and triazole derive, useful as selective

COX-1
inhibitors)
RN 726194-19-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
N,N-diethyl-1_[4-(2-hydroxyethoxy)phenyl]-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

726196-51-8P 726196-54-9P 726196-55-0P
726196-56-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazole and triazole derivs. useful as selective

1
inhibitors)
726196-53-8 CAPLUS
1H-Imidazole-4-carboxamide, N-ethyl-2-(4-methoxyphenyl)-N-methyl-1-[4(phenylmethoxy)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:589415 CAPLUS
DOCUMENT NUMBER: 141:140441
TITLE: Preparation of imidazole and triazole derivatives
useful as selective COX-1 inhibitors
INVENTOR(S): Takahashi, Fumic; Nakagawa, Toshiya; Matsushima, Nakamura, Katsuya
Pujisawa Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 211 pp.
CODEN: PIXXD2
Patent
English
2

INVENTOR(S): Yuji;

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		NO.															
						-									-		
WO .	2004	0603	67		Al		2004	0722	,	WO 2	003-	JP15	921		2	0031	212
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
							DK,										
							IN,										
							MG,										
							SC.										
							UZ,							,	,	•••,	•••
	nu.	BW.												7 M	214	2.14	3.7
	KH.																
							TJ,										
							ΗU,										
		TR,	BF,	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GΩ,	GW,	ML,	MR,	ΝE,	SN,	TD,
AU	200	12887	46		A1		2004	0729		AU 2	003-	2887	46		2	0031	212
IORITY											002-						
										AU 2	003-	9018	04		A 2	0030	415
										A11 2	003 -	anza	28		a 2	0030	728
												,,,,					

WO 2003-JP15921

W 20031212

OTHER SOURCE(S): MARPAT 141:140441

ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

726196-54-9 CAPLUS
1H-Imidazole-4-carboxamide, N-ethyl-1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)/

726196-55-0 CAPLUS
1H-Imidazole-4-carboxamide, N,N-diethyl-2-(4-methoxyphenyl)-1-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

726196-56-1 CAPLUS
1H-Imidazole-4-carboxamide, N,N-diethyl-1-(4-hydroxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

726194-18-9P 726194-27-0P 726194-30-5P RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapautic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USSS (Uses)

(preparation of imidazole and triazole derivs, useful as selective COX-1

1
inhibitors)
726194-18-9 CAPLUS
1H-Imidazole-4-carboxamide, N-ethyl-1-[4-(2-hydroxyethoxy)phenyl}-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

726194-27-0 CAPLUS
1H-Imidazole-4-carboxamide, 1-[4-[2-[1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethoxy]phenyl]-N-ethyl-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

726194-34-9 CAPLUS 1H-Imidazole-4-carboxamide, 1-{4-(2-aminoethoxy)phenyl}-N,N-diethyl-2-(4-methoxyphenyl)-(9C1) (CA INDEX NAME)

RN 726194-15-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-[4-[2-[(aminocarbonyl)aminolethoxylphenyl]-N-ethyl-2-[4-methoxyphenyl]-N-methyl- (9CI) (CA INDEX NAME)

726194-37-2 CAPLUS
1H-Imidazole-4-carboxamide, 1-[4-[2-[(aminocarbonyl)amino]athoxy]phenyl}-

SAEED

ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

726194-30-5 CAPLUS
IH-Imidazole-4-carboxamide, 1-[4-(2-aminoethoxy)phenyl]-N-ethyl-2-(4-methoxyphenyl)-N-methyl- (9CI) (CA INDEX NAME)

726194-29-2P 726194-34-9P 726194-35-0P
726194-37-2P
RL: SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole and triazole derivs useful as selective

inhibitors)
726194-29-2 CAPLUS
1H-Imidazole-4-carboxamide, 1-{4-{2-{1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl}ethoxy}phenyl}-N,N-diethyl-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 20 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) N,N-diethyl-2-{4-methoxyphenyl}- (9CI) (CA INDEX NAME)

L6 ANSWER 21 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:515489 CAPLUS
DOCUMENT NUMBER: 141:54345
TITLE: Preparation of pyrazoles and imidazoles as cannabinoid

CB1 receptor antagonists.
Dow, Robert Lee; Hammond, Marlys
Pfizer Products Inc., USA
PCT Int. Appl., 102 pp.
CODEN: PIXXD2 INVENTOR (S) PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

~	•••	010		••••														
		PENT																
							-									-		
	WO	2004	0528	64		A1		2004	0624		WO 2	003-	IB58	35		2	0031	203
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN.	co.	CR.	CU.	CZ.	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	ΡI,	GB,	GD,
			GE.	GH:	GM.	HR.	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,
			LK.	LR.	LS.	LT.	LU.	LV.	MA.	MD.	MG,	MK.	MN.	MW.	MX.	MZ,	NI.	NO.
	•										SC,							
		DW.																AZ,
											BE,							
											LU,							
											GN,							
YG				ы,	υ,	C. ,	ca,	C.,	····	٠.,	٠,	٠,	٠,	,		,	٠,	,
U		2004						2004	0624		110 2	^^2-	7021	40		•	0021	104
		2505																
		2003																
	ΕP	1572																
		R:									GR,							
			IE.	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	cz,	EE,	HU,	SK	
	BR	2003	0170	96		A		2005	1025		BR 2	003-	1709	6		2	0031	203
		2006																
PTO		APP																

PRIORITY APPLN. INFO.: WO 2003-IB5835 W 20031203

OTHER SOURCE(S): MARPAT 141:54345

L6 ANSWER 22 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:153570 CAPLUS
DOCUMENT NUMBER: 140:191240
TITLE: entagonists

ACCESSION NUMBER: 2004:153570 CAPLUS
POEnt imidazole and triazole CB1 receptor

Potent imidazole and trizole Cs; Peceptor related to SR141716

Dyck, Brian; Goodfellow, Vsl S.; Phillips, Teresa; Grey, Jonathan; Haddach, Mustapha; Rowbottom, Martin; Naeve, Gregory S.; Brown, Brock; Saunders, John Departments of Medicinal Chemistry, Pharmacology and Molecular Biology, Neurocrine Biosciences Inc., San Diego, CA, 92121, USA
Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1151-1154

CODEN: BMCLES; ISSN: 0960-894X
Elsevier Science B.V.
Journal English
CASREACT 140:391240 AUTHOR (S):

CORPORATE SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Diarylimidazolecarboxamides and diaryltriazolecarboxamides related to SR141716 were synthesized and tested for binding to the human CB1 receptor. Suitably substituted imidazoles are comparably potent to the clin. candidate, whereas the analogous triazoles are less so due to the absence of an addnl. substituent on the azole ring. Example compds. thus prepared and evaluated were derivs. of 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-N-1-piperidinyl-1H-pyrazole-3-carboxamide (SR 141716) [1], such as 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-(hexahydro-1H-azepin-1-yl)-1H-1,2,4-triazole-3-carboxamide (II) and

(hexahydro-1H-azepin-1-yil-1H-1, 2, 4-triazole-3-carboxamide [11] and

1-(4-chlorophenyl)-2-(2, 4-dichlorophenyl)-N-(hexahydrocyclopenta[c]pyrrol2(1H)-yil)-5-methyl-1H-imidazole-4-carboxamide [11].

IT 681208-91-5P 681208-95-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(Giological study); PREP (Preparation)
(preparation of imidazole-carboxamides and triazolecarboxamides

related to SR
141716 and study of their activity as cannabinoid CB1 receptor
antagonists)
RN 681208-91-5 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-[2(dimethylamino)ethyl]- (9CI) (CA INDEX NAME)

ANSWER 21 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) Title compde. (I; X = C and Y = N, or X = N and Y = C; R = H, alkyl, halo, cyano; RA, RA = (CH2)RA; m, n = 0-2; p = 0-3; Ar = (Substituted) aryl, heteroaryl; L = CO, CR4ORS; RA = H, alkyl; RS = H, alkyl; RS = H.

RSR9

- CH2CH2, CH2CO; R6, R7 = H, alkyl; R6R7 = atoms to form a (partially) saturated carbocyclic ring; R8, R9 = H, alkyl, CO(CH2)mR10, SO2(CH2)nR10, (CH2)mR10; R8R9 = atoms to form a 4-8 membered (partially) saturated ring; R10

- (substituted) alkyl, (partially) saturated cycloalkyl, aryl, heteroaryl, heterocyclyl; dotted lines = bonds for form an aromatic ring), were nerosered.

prepared for treatment of obesity, alcoholism, or tobacco abuse (no data). Thus,

2-(benzylisopropylamino)-1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methyl1H-pyrazol-3-yl]ethanone hydrochloride was stirred with NaBH4 in EtOR to
give 2-(benzylisopropylamino)-1-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4methyl-1H-pyrazol-3-yl]ethanol.

IT 709036-65-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of pyrazoles and imidazoles as cannabinoid CB1 receptor
antegonists)
RN 709036-65-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-Nmethoxy-N-methyl- (9CI) (CA INDEX NAME)

ANSWER 22 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

683208-95-9 CAPLUS
1H-Imidazole-4-carboxamide,
chlorophenyl)-N-[2-(4-chlorophenyl)ethyl]2-{2,4-dichlorophenyl}-5-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

THERE ARE 27 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

PORMAT

L6 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
139:144003
Substituted imidazoles as cannabinoid receptor modulators, their preparation, and their therapeutic use
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
		.					-									-		
	WO	2003	0637	81		A2		2003	0807		WO 2	003-	US 23	51		2	0030	124
	WO	2003	0637	81		A3		2003	1211									
		W:	AE.	AG.	AL.	AM.	AT,	AU,	AZ,	BA.	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
																GD,		
			GM.	HR.	HU.	ID.	IL.	IN.	IS.	JP.	KE.	KG,	KR.	KZ,	LC,	LK,	LR,	LS,
			LT.	LU.	LV.	MA.	MD.	MG.	MK,	MN,	MW.	MX,	MZ,	NO.	NZ,	OM,	PH,	PL,
			PT.	RO.	RU.	SC.	SD.	SE.	SG,	SK.	SL.	TJ,	TM,	TN.	TR,	TT.	TZ,	UA,
									ZA,									
		RW:										TZ.	UG.	ZM,	ZW,	AM,	AZ,	BY,
																DK,		
																SK,		
																TD,		
	us	2004																709
PRIO	RIT	APP	LN.	INFO	. :						US 2	002-	3527	43P	3	P 2	0020	129

OTHER SOURCE(S):

COURCE(S): MARPAT 139:144003
Compds. of the invention are antagonists and/or inverse agonists of the cannabinoid-1 (CB1) receptor and are useful in the treatment, prevention and suppression of diseases mediated by the CB1 receptor. The compds of the invention are useful as psychotropic drugs in the treatment of psychosis, memory deficits, cognitive disorders, migraine, neuropathy, neuroinflammatory disorders including multiple sclerosis and Guillain-Barre syndrome and the inflammatory sequelae of viral encephalitis, cerebral vascular accidents, and head trauma, anxiety disorders, stress, epilepsy, Psrkinson s disease, movement disorders, and schizophrenia. The compds are also useful for the treatment of tance

WO 2003-US2351

W 20030124

substance abuse disorders, the treatment of obesity or eating disorders, as well

as,

the treatment of asthma, constipation, chronic intestinal pseudo-obstruction, and cirrhosis of the liver. 572889-96-4P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses

(imidazole derivative cannabinoid receptor modulators, preparation,

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2003:376829 CAPLUS DOCUMENT NUMBER: 138:385424 TITLE: Imidae: 1

INVENTOR(S):

IT

and

138:385424
Imidazole 4-carboxamide derivatives, and their preparation and use for treatment of obesity Smith, Roger A.; O'Connor, Stephen J.; Wirtz, Stephan-Nicholas; Wong, Wai C.; Choi, Soongyu; Kluender, Harold C. E.; Su, Ning; Wang, Gan; Achebe, Furahi; Ying, Shihong Bayer Pharmacceuticals Corporation, USA PCT Int. Appl., 225 pp. CODEN: PIXXD2

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		CENT NO															DATE	
							-											
	WO	200304	101	07		A1		2003	0515		WO 2	003-	0530	545			20020	924
	MO	200304																
																	, CH,	
																	, GE,	
																	, LK,	
																	, PH,	
									51,	SK,	SL,	13.	IM.	TR,	TT,	12	, UA,	UG,
						YU,												
		RW: C	ЗH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	12,	UG,	ZM,	ZW,	AM	, AZ,	BI,
																	, EE,	
																	, BJ,	CF,
			œ,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
	CA	245974	15			Al		2003	0515		CA 2	002-	2459	745			20020	924
		200406		91		A1		2004	0401		US 2	002-	2550	49			20020	924
		696060				82		2005	1101									
	EP	143269															20020	
					'												, MC,	
			Œ,	51,	LT.	LV,	FI,	RO,	MK,	CY,	ΑЬ,	TR,	BG,	ČZ,	EE,	SK		
	BR	20020	129	86		Α.		2004	0817		BK 2	002-	1298	•			20020	924
	HU	200402	337	6		A2		2005	0228		HU 2	004-	23/6				20020	924
	CN	159972	24					2005	0323		CN 2	002-	8186	93			20020	924
	JP	200550	183	84		T		2005	0331		JP 2	003-	5421	53			20020	924
	NZ	53184	١.			^		2005	0930		NZ 2	002-	5318	• 1			20020	924
	CN	186524				•		2006	1122		UN 2	006-	1009	1213			20020	224
	NO	200400	112	16		•		2004	0505		NO 2	004-	727E				20040	323
	ZA	200400	330.	35		Α.		2005	0421		ZA 2	004-	3035				20040	431
		400545		6 /		AI		2005	111,		US 12	005-	1331	71 D			20050	024
PRIO	CIT:	20020: 20040: 15997: 20055: 53184: 18652: 20040: 20040: 20052: (APPLE	• • •	INFO	. :						05 4	001-	3244	/38			20010	724
																	20020	
											US 2	002-	2550	49		A3	20020	924
											WO 2	002-1	US30	545	,	W	20020	924

MARPAT 138:385424

OTHER SOURCE(S):

L6 ANSWER 23 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

therapeutic use)
572889-96-4 CAPLUS
HI-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5methyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

(Continued) ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

The invention relates to imidazole derivs. I, which have been found to suppress appetite and induce weight loss [wherein: R1, R2 = alkyl, (un)substituted Ph, alkyl, naphthyl, benzyl, (un)saturated or aromatic heterocyclyl; R3 = H, alkyl, benzyl, Cl, or Br; X = (a) CONR4R5 or (b) CONNSOZR10; (a) R4 = H or alkyl; R5 = (un)substituted alkyl, relatively AB

benzyl, phenethyl, piperidinyl or pyrrolidinyl, NR6R7, etc.; or NR4R5 = (un)substituted (un)saturated heterocyclyl; R6 = H or alkyl; R7 = alkyl

(un) substituted Ph; or NR6R7 = (un) substituted (un) saturated

them, and methods of using such compns. for inducing weight loss and treating obesity and obesity-related disorders. Such disorders include dyslipidemla, hypertriglyceridemla, hypertension, disbetes, syndrome X, atherosclerotic disease, cardiovascular disease, certorvascular disease, peripheral vessel disease, cholesterol gallstones, cancer, menstrual abnormalities, infertility, polycystic ovaries, ostecarthritis, and sleep apnea. I are also claimed for use in regulating appetite, treating bullimis, treating CNS disorders, treating cognition and memory disorders, and treating substance or behavioral addiction. I may also be administered or formed into pharmaceutical compns. in combination with other agents for similar treatments, e.g., antiobesity agents, hypolipidemics, and antihypertensives. Approx. 50 synthetic examples of both invention compds, and intermediates are given, and several tables of compds. I (480 total compds.) are provided. For instance, 2-chloro-N-(4-chlorophenyl)benzenecarboximidamide was cyclized with Et 1-bromo-2-oxopentanoate in the presence of K3CO3 to give an imidazole-4-carboxylate setter, which reacted with 1-aminopiperidine in the

presence of AlMe3 to give title compound II. In the fasted-refed scute

ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) feeding assay in rats, invention compd. III at 10 mg/kg orally reduced food consumption by 11-53% vs. control. 527369-03-59

527169-03-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); B101 (Biological atudy); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of imidazolecarboxamide derivs. as

(drug cond-land)
antiobesity
agents)
RN 527369-03-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)

527367-84-6P 527368-19-0P 527368-57-6P 527368-66-7P 527368-71-4P 527370-18-9P 527370-23-6P 527370-23-6P 527370-32-8P 527370-37-6P 527370-47-4P 527370-52-1P 527370-68-9P 527370-87-2P 527370-87-2P 527370-87-2P 527371-24-0P 527371-34-0P 527371-53-59-52P 527371-51-40-P 527375-87-7P 527375-90-2P IT

es, (drug candidate; preparation of imidazolecarboxamide derivs. as (drug candidate; preparation of immunestations and antioberity agents)

RN 527367-84-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-cyclohexyl-N-methyl- (9CI) (CA INDEX NAME)

ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

527368-66-7 CAPLUS
1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-(1R,2R)-2-(methylamino)cyclohexyl)-, monohydrochloride (9CI) (CA INDEX NAME)

527368-71-4 CAPLUS
1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-N-([15,28)-2-(methylamino)cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527368-19-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chloropheny)-1-1-(2,4-dichlorophenyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 527368-57-6 CAPLUS
CN 1H-1midazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-methylN-(1-methyl-3-pyrrolidinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

• HCl

RN 527370-18-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chloropheny)-1-(2,4-dichlorophenyl)-N-[2(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 527370-23-6 CAPLUS CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

RN 527370-28-1 CAPLUS

L6 ANSMER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-(2(dimethylamino)ethyl)- (9CI) (CA INDEX NAME)

RN 527370-33-8 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[3(dimethylamino)propyl)- (9Cl) (CA INDEX NAME)

RN 527370-47-4 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-propyl(9C1) (CA INDEX NAME)

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)

RN 527370-77-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HCl

RN 527370-82-7 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-(3-(1-pyrrolidinyl)propyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527370-52-1 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1^T(4-chlorophenyl)-N-(1-eth)propyl)-(9C1) (CA INDEX NAME)

RN 527370-68-9 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-((2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

RN 527370-73-6 CAPLUS

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527370-87-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-N-[3-(1-pyrrolidinyl)propyl]-2-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 527371-19-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-{2,4-dichlorophenyl}-N-{[{1R,2R},-2-hydroxycycloheptyl}methyl}-1-{4-methoxyphenyl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continue

RN 527371-24-0 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-{{(1R,2S}-2-hydroxycyclohexyl]methyl}-1-{4-methoxyphenyl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 527371-53-5 CAPLUS
CN H-Imidazole-4-carboxamide, 2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1-chl)-2-pyrrolidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

• HC1

RN 527375-14-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(2,4dimethoxyphenyl)methyl]-N-[(2R,3R]-1,2,3,4-tetrahydro-3-hydroxy-2naphthalenyl]-, rel- (9c1) (CA INDEX NAME)

Relative stereochemistry.

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527375-94-6 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(1R)2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 527375-99-1 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(4-chlorophenyl)-N-[(15)2-hydroxy-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

SAEED

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 527375-87-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[(1R)-2-hydroxy-1-phenylethyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 527375-90-2 CAPLUS

1H-Imidazole-4-carboxamide, 2-(2,4-dichlorophenyl)-N-[(1S)-2-hydroxy-1-phenylethyl]-1-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 24 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE

L6 ANSWER 25 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2003:282325 CAPLUS COCUMENT NUMBER: 138:321285

INVENTOR (S):

DOCUMENT TYPE:

138:321285
Preparation of quinazoline-2,4-diamines as MCH receptor antagonists Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran. Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold Taisho Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 1171 pp. CODEN: PIXXD2
Parent

PATENT ASSIGNEE(S): SOURCE:

Patent

ANGUAGE: English

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. KIND DATE APPLICATION NO. A2 A3 20030410 WO 2002-US31059 20020930 WO 2003028641 WO 2003028641 MO 2003028641 A2 20030318 MO 2001-0531059 20022930 MO 2003028641 A3 30030388 M. AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, NO, AZ, CM, PH, PT, FT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, AZ, AM, ZW, RW; GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CA 2460594 A1 20030410 CA 2002-2460594 20020930 RR; AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, CN 1582281 A 20050216 CN 2002-821940 20020930 RITY APPLN. INPO: 20030828 JP 2005523237 PRIORITY APPLN. INFO.: US 2001-326758P P 20011002

OTHER SOURCE(S):

MARPAT 138:321285

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related

L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2003:261815 CAPLUS DOCUMENT NUMBER: 138:287674

DOCUMENT NUMBER: TITLE:

INVENTOR(S):

138:287674
Preparation of 1H-imidazole-4-carboxamides as CB1 agoniats, partial agonists, or antagonists for treatment of psychiatric and neurological disorders Kruse, Cornelis G.; Lange, Josephus H. M.; Herremans, Arnoldus H. J.; Van Stuivenberg, Herman H. Solvay Pharmaceuticels B.V., Neth. PCT Int. Appl., 27 pp. CODEN: PIXXD2
Patent

WO 2002-US31059

W 20020930

PATENT ASSIGNEE(S):

DOCUMENT TYPE: Patent English

ANGUAGE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA?	CENT :	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.			DATE		
	WO	2003	0270	76		A2		2003	0403	1	WO 2	002-	EP10	434			2002	091	7
	WO	2003	0270	76		A3		2003	1120										
		W;	AE.	AG.	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA	, CH	, c	N,
			co.	CR.	CU.	cz,	DE,	DK.	DM.	DZ,	EC.	EE,	ES.	PI,	GB,	GE	, GE	, G	н,
			GM.	HR.	HU.	ID.	IL.	IN.	IS,	JP.	KE.	KG.	KP.	KR.	KZ.	LC	LK	. L	R.
									MG,										
									SG,										
									YU,										
		RW:													ZW.	AM	i. AZ	. 8	Y.
		•							AT.										
									LU,										
			m	CT.	m.	CA.	CN	20	~w	MT	MD	NTE.	CM	TD	TC		-		-
	TW	2317	57	,		В		2005	0501	,	TW 2	002-	9111	9798			2002	083	٥
	CA	2317 2457 1438	444			A1		2003	0403		CA 2	002-	2457	444			2002	091	7
	EP	1438	296			A2		2004	0721		EP 2	002-	7723	14			2002	091	7
		D.	AT	BE	CH	DE	DK	ES	FR.	GB.	GP -	TT.	T.T	TAT.	NI.	SE	. MC		~
	RR	2002	0124	A 1	,	- A	,	2004	0824		BR 2	002-	1248	1		-	2002	091	7
	CN	2002 1556 2005 2004 2004 2004 2004 2004 2005 7109	703			A		2004	1222		CN 2	002-	8183	46			2002	091	7
	.70	2005	5049	ns.		T		2005	0217		TD 2	003-	5306	67			3003	091	7
	HIL	2004	0215			A 2		2005	0228		ב זוע	004-	2150	• •			2002	091	,
	TN	2004	CNOO	574		A .		2005	0113		TN 2	004-	CN57	4			2004	031	7
	72	2004	0021	00		2		2005	0429		7A 2	004-	2188	•			2004	031	
	NO	2004	0011	71				2004	0621		NO 2	004-	1171				2004	031	9
	us	2004	2358	54		A1		2004	1125		us 2	004-	4900	19			2004	031	•
	us	2005	0546	79		AI		2005	0310		US 2	004-	9121	71			2004	080	6
	IIS	7109	216			B2		2006	0010		-	•••		-					-
110	ידום	APP	I.NI	INFO		-			0,1,		ED 2	001-	2038	51			2001	000	
				0	• •								-050			••		٠,,	•
										,	WO 2	002-	EP10	434		w	2002	091	7
											US 2	004-	4900	19		A2	2004	031	9
											US 2	004-	5749	39P		P	2004	052	8

MARPAT 138:287674

ANSWER 25 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-(4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyllcarbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtoAc afforded 34% cis-V.2HCl which showed ICSO of 6 nM against MCH receptor. 510743-47-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of quinazoline-2,4-diamines as MCH receptor antagonists)
510743-47-2 CAPLUS
1H-Pyrrole-3-carboxamide, N-[[cis-4-[[4-(dimethylamino]-2-quinazoliny]]amino]cyclohexyl]methyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. I [wherein R = (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, or triazinyl; R1 = (un)substituted

or pyridinyl; R2 = H or (cyclo)alkyl or (cyclo)alkenyl optionally interrupted by S. O. or N; R3 = (un)substituted (cyclo)alkyl, (cyclo)alkoxy, bicycloalkyl, tricycloalkyl, or (cyclo)alkenyl optionally interrupted by N. O. or S; or R3 = pyridinyl or H when R4 = H; or R3 = NRSR6 when R2 = H or Me; or NR2R3 = (un)substituted heterocyclyl; R4 = H, halo, CN, carbamoyl, formyl, acetyl, CF1CO, FCH2CO, EtCD, sulfamoyl, MeSO2, MeS, or (un)substituted alkyl; R5 and R6 = independently alkyl; or NRSR6 = (un)substituted heterocyclyl; and prodruge, stereoisomers, and salts thereof) were prepared as potent cannabinoid (CB1) receptor ist.

partial agonists, or antagonists (no data). For example, reaction of 4-chloroaniline with 2,4-dichlorobenzonitrile in the presence of aodium bis(trimethylasily)lamide in THF provided N-(4-chlorophenyl)-2,4-dichlorobenzenecarboxamidine (42%). Cyclization of the carboxamidine

Et 3-bromo-2-oxopropanoate in a solution of NaHCO3 and isopropanol gave

Et 3-bromo-2-oxopropanoate in a solution of NaHCO1 and isopropanol gave imidazolecarboxylate (29%), which was converted to the imidazolecarbonyl chloride (no data). Amidation with 1-aminopiperidine using TEA in CH2Cl2 afforded II (26%). I are useful for the treatment of psychiatric and neurol. disorders, as well as and other diseases involving cannabinoid neurotronamission (no data).

SOS073-32-5P, N-(Benzyl)-1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-methyl-1H-imidazole-4-carboxamide 505073-48-3P,

1-(4-Bromophenyl)-2-(2,4-dichlorophenyl)-5-ethyl-N-pentyl-1H-imidazole-4-carboxamide 505073-55-3P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-1H-imidazole-4-carboxamide 505073-55-3P, 1-(4-Chlorophenyl)-1-N-pentyl-1H-imidazole-4-carboxamide 505073-63-2P, 1-(4-Chlorophenyl)-1N-N-dicthyl-1H-imidazole-4-carboxamide 505073-0-2(1,4-dichlorophenyl)-N-N-dicthyl-1H-imidazole-4-carboxamide 505073-51-2P, 1-(4-Chlorophenyl)-N-N-dicthyl-1H-imidazole-4-carboxamide 505073-0-3P-2P, 1-(4-Chlorophenyl)-N-(2,2,2-trifluoroethyl)-2-(2-trifluoroethyl)-2-(2,4-dichlorophenyl)-1H-imidazole-4-carboxamide 505073-5P, 1-(4-Chlorophenyl)-1-1H-imidazole-4-carboxamide 505073-5P, 1-(4-Chlorophenyl)-2-(2-trifluoroethyl)-2-(2,4-dichlorophenyl)-1-(3-thlorophenyl)-2-(2-trifluoroethyl)-2-(2-trifluoroethyl)-2-(3,4-dichlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 1-(4-Chlorophenyl)-2-(2-thlorophenyl)-1-(3-thlorophenyl)-1-(3-thlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 2-(2-Chlorophenyl)-1-(3-thlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 1-(4-Chlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-15-5P, 2-(2-Chlorophenyl)-1-(3-thlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 2-(2-Chlorophenyl)-1-(3-thlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 2-(2-Chlorophenyl)-1-(3-thlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxamide 505074-13-5P, 2-(2-Chlorophenyl)-1-(3-thlorophenyl)-5-methyl-N-pentyl-1H-imidazole-4-carboxa

OTHER SOURCE(S):

ANSMER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 505074-21-5P, 2-(2-Chlorophenyl)-1-(3-fluorophenyl)-N-[2-(4-fluorophenyl)-thyl]-5-methyl-1H-imidazole-4-carboxamide 505074-32-8P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N-(2-fluoroethyl)-5-methyl-1H-imidazole-4-carboxamide 505074-36-2P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-N-(4-fluorobenzyl)-5-methyl-1H-imidazole-4-carboxamide 505074-50-0P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[3-(trifluoromethyl)benzyl]-1H-imidazole-4-carboxamide 505074-51-1P, 1-(4-Chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[4-(trifluoromethyl)benzyl]-1H-imidazole-4-carboxamide S05074-50-(1-4-(trifluoromethyl)benzyl]-1H-imidazole-4-carboxamide RL: PAC (Pharmacological activity); SPN (Symthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Therapeutic use); BIOL (Biological study); PREP (Preparation); OSES
(Uses)
(CB1 modulator; prepn. of imidazolecarboxamides as CB1 agonists,
partial agonists, or antagonists for treatment of psychiatric and
neurol. disorders)
505073-32-5 CAPLUS
HI-Imidazole-4-carboxamide, 1-{4-chlorophenyl}-2-{2,4-dichlorophenyl}-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

505073-48-3 CAPLUS
IH-Imidazole-4-carboxamide, 1-(4-bromophenyl)-2-(2,4-dichlorophenyl)-5chyl-N-pentyl- (9Cl) (CA INDEX NAME)

ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

505073-66-5 CAPLUS
1H-Imidazole-4-carboxamide,
-chlorophenyl)-2-(2,4-dichlorophenyl)-N,N-diethyl- (9CI) (CA INDEX NAME)

505073-71-2 CAPLUS
1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-[4-chloro-2-(trifluoromethyl)phenyl]-N-(2,2,2-trifluoroethyl)- (9Cl) (CA (CA INDEX NAME)

SAEED

L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

505073-56-3 CAPLUS
1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N[(4-fluorophenyl)methyl]- (9CI) {CA INDEX NAME}

505073-63-2 CAPLUS
1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methoxyphenyl)-1-(4-chlorophenyl)-N-pentyl- (9CI) (CA INDEX NAME)

ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

505073-89-2 CAPLUS
1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)N,N,5-trimethyl- (9CI) (CA INDEX NAME)

505074-05-5 CAPLUS 1H-Imidazole-4-carboxamide, 2-(4-chloro-2-methoxyphenyl)-1-(4-chlorophenyl)-5-methyl-N-pentyl- (9CI) (CA INDEX NAME)

RN 505074-13-5 CAPLUS
CN 1H-Imidazole-4-cerboxamide,
2-(4-chloro-2-fluorophenyl)-1-(4-chlorophenyl)5-methyl-N-pentyl- (9Cl) (CA INDEX NAME)

L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 505074-18-0 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2-(2-chlorophenyl)-1-(3-fluorophenyl)-5-methylN-pentyl- (9C1) (CA INDEX NAME)

RN 505074-21-5 CAPLUS
CN 1H-Imidazole-4-carboxamide,
2:(2-chlorophenyl)-1-(3-fluorophenyl)-N-[2-(4-fluorophenyl)ethyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 505074-32-8 CAPLUS
CN 1H-Imidazole-4-carboxamide,
1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-N-(2-

L6 ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

505074-51-1 CAPLUS
1H-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 26 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN fluoroethyl)-5-methyl- (9CI) (CA INDEX NAME) (Continued)

505074-36-2 CAPLUS
1H-Imidazole-4-carboxamide, 1-(4-chloropheny1)-2-(2,4-dichloropheny1)-N[(4-fluoropheny1)methy1]-5-methy1- (9CI) (CA INDEX NAME)

505074-50-0 CAPLUS
IH-Imidazole-4-carboxamide, 1-(4-chlorophenyl)-2-(2,4-dichlorophenyl)-5-methyl-N-([3-(trifluoromethyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
138:287520
ITITLE:
INVENTOR(S):
INVENTOR(S):
SOURCE:
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
PAHILY ACC. NUM. COUNT:
PATENT ASSIGNEE(S):
SOURCE:
CODE:
PIXED:
PATENT ASSIGNEE(S):
Bayer Pharmaceuticals Corporation, USA
CODE:
PIXED:
PAHILY ACC. NUM. COUNT:
1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT	INFOR	PDC 1 1	ONI														
PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
	• • • • •					-									-		
WO	2003	0270	69		A1		2003	0403	1	WO 2	002-1	US30	543		2	0020	924
	W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG.	BR,	BY,	B2,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GΒ,	GD,	GE,	GH,
		GM,	HR,	`HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
											MW.						
											TJ,						
					YU.												
	RW:	GH.	GM.	KE.	LS.	MW.	MZ.	SD.	SL.	SZ.	TZ.	UG.	ZM.	ZW.	AM.	AZ.	BY.
											CH,						
											PT:						
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG			•
· CA	2461	144			A1		2003	0403	- 1	CA 2	002-	2461	144		2	0020	924
EP	1432	679			A1		2004	0630	-	EP 2	002-	7996	37		2	0020	924
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.
		IE,	SI,	LT.	LV.	FI,	RO,	MK,	CY,	AL.	TR.	BG.	CZ.	EE.	SK		
JP	2005	5329	82		T		2005	1104	٠,	JP 2	003-	5306	60		2	0020	924
	2004															0040	
PRIORIT																0010	924
									,	WO 2	002-1	1530	543		w 2	nnan	974

OTHER SOURCE(S): MARPAT 138:287520

AB This invention relates to pyrrolecarboxamides and pyrrolecarbohydrazides (shown as I; variables defined below; e.g. 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-N-(1-piperidinyl)-1H-pyrrole-3-carboxamide hydrochloride) that suppress appetite and induce weight loss. The invention also provides methods for synthesis of the compds., pharmaceutical compns.

ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) comprising the compds., and methods of using such compns. for inducing

comprising the compds., and methods of using such compans, for inducing loss and treating obesity and obesity-related disorders. Although the methods of prepn. are not claimed, 6 example prepns of I and/or intermediates and characterization data for .appxx.50 examples of I are included. Seven pharmaceutical formulations are listed. Compds. of this invention are active in a fasted-refed acute feeding assay. For example, when 1-(2-chlorophenyl)-5-(4-chlorophenyl)-3-methyl-N-(1-pipridinyl)-1pyrrole-3-carboxamide hydrochloride was dosed at 10 mg/kg p.o., food consumption was reduced (relative to the food consumption obsd. for the vehicle control group) by up to 25% when measured at time points = 30-240 min. Likewise, when 1-(2-chlorophenyl)-5-(4-methoxyphenyl)-2,4-dimethyl-N'-(4-(trifluoromethyl)phenyl)-1H-pyrrole-3-carbohydrazide hydrochloride was dosed at 10 mg/kg p.o., food consumption was reduced by up to 35%. For I: R1 and R2 = Ph optionally substituted with 21 halogen, (C1-C6)alkyl, (C1-C6)alkoxy, trifluoromethyl, hydroxy, cyano, or nitro;

(C1-C6)alkyl. (C1-C6)alkoxy, trifluoromethyl, hydroxy, cyano, or nitro;

H. R4 - CH3, R5 - H or (C1-C6)alkyl; R6 = substituted cyclohexyl;
(un)substituted (C1-C5)alkyl, cyclopentyl, cycloheptyl or
cyclo(C3-C7)alkyl-(C1-C1)alkyl, each of which may be optionally
substituted; substituted benzyl; substituted phenyl; piperidin-4-yl,
piperidin-3-yl, or pyrrolidin-3-yl, each of which may be optionally
substituted on the N atom of the piperidine or pyrrolidine ring; -NRTR8.
OR R5 and R6, taken together with the N atom to which they are attached,
form a 5- to 10-membered satd. heterocyclic radical contg, at least one
addnl. N atom, with optional substitution. Or R5 and R6, taken together
with the N atom to which they are attached, form a 1-piperidinyl,
-1-pyrrolidinyl, or 1-morpholino group, which is substituted; addnl.
details are given in the claims.
504405-3-4P, N-(Cyclohexylmethyl)-2-methyl-1,5-diphenyl-1Hpyrrole-3-carboxamide 504405-67-8P, N-((4-Chlorophenyl))-3-methyl-1H-pyrrole-3-carboxamide
504405-68-9P, N-((4-Pluorophenyl))-2-methyl-1H-pyrrole-3-carboxamide
504405-69-0P,
N-((4-(Trifluoromethyl))-1h-pyrrole-3-carboxamide 504405-69-0P,
N-((4-(Trifluoromethyl-1H-pyrrole-3-carboxamide 504405-69-0P,
N-(14-(Trifluoromethyl-1H-pyrrole-3-carboxamide 504405-69-0P,
N-(14-(Trifluoromethyl-1H-pyrrole-3-carboxamide 504405-69-0P,
N-(14-(Trifluoromethyl-1H-pyrrole-3-carboxamide 504405-69-0P,
Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; preparation and use of pyrrolecarboxamides for

(drug candidate; preparation and use of pyrrolecarboxamides for

treating
obesity-related disorders)
RN 504405-39-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-(cyclohexylmethyl)-2-methyl-1,5-diphenyl(9C1) (CA INDEX NAME)

ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

504405-69-0 CAPLUS

IH-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-2methyl-N-[(4-(trifluoromethyl)phenyl)methyl]-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

N 504405-67-8 CAPLUS N 1H-Pyrrole-3-carboxamide, -(4-chlorophenyl)-N-[(4-chlorophenyl)methyl]-1-(2,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

504405-68-9 CAPLUS
1H-Pyrrole-3-carboxamide,
-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[(4-fluorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 27 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ACCESSION NUMBER:
DOCUMENT NUMBER:
AUTHOR(S):

AUTHOR(S):

COMPORATE SOURCE:

CORPORATE SOURCE:
SOURCE:
SOURCE:
DOCUMENT NUMBER:
DOCUMENT NUMBER:
DOCUMENT NUMBER:
DOCUMENT NUMBER:
SCHOOL of Chemistry, University of Hyderabad, Hyderabad, 500 046, India
JOURNAL OF HYDERS (COMPON MANY ISSN: 0022-2623)
AMERICAN TYPE:
LANGUAGE:
DOCUMENT TYPE:
LANGUAGE:
DOCUMENT TYPE:
LANGUAGE:
DOCUMENT TYPE:
DOC

were performed on 114 analogs of 1,2-diarylimidazole to optimize their cyclooxygenase-2 (COX-2) selective antiinflammatory activities. These studies produced models with high correlation coeffs, and good predictive abilities. Docking studies were also carried out wherein these analogs were docked into the active sites of both COX-1 and COX-2 to analyze the receptor ligand interactions that confer selectivity for COX-2. The most active mol. in the series adopts an orientation similar to that of SC-558 (4-[5-(4-bromophenyl)-3-trifluoromethyl-1H-1-pyrazolyl]-1-benzenesulfonamide) inside the COX-2 active site while the least active mol. optimizes in a different orientation. In the active site, there are some strong hydrogen-bonding interactions observed between residues 0.

His90. ArgS13, and PheS18 and the ligands. Addnl., a correlation of the quant. structure-activity relation data and the docking results is found to validate each other and suggests the importance of the binding step in overall drug action.

189628-32-8

IT

189628-32-8
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(OSAR COMPA, COMSIA anal. and docking studies of arylimidazole derivs.
as COX-2 inhibitors)
189628-32-8 CAPLUS
HI-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N,N-diethyl-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:868414 CAPLUS
DOCUMENT NUMBER: 136:20006
Preparation of pyrrole derivatives as tyrosine phosphateae inhibitors for preventive and therapeutic drugs for diseases such as diabetes
INVENTOR(5): Matsumoto, Takshiro; Katayama, Nozomi; Mabuchi, Hiroshi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan SOURCE: COEN: PIXXD2
DOCUMENT TYPE: LANGUAGE: PIXXD2
PAMILY ACC. NUM. COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE JP 2000-247954 A 20000810 WO 2001-JP4201 W 20010521

OTHER SOURCE(S): MARPAT 136:20006

Compds. of the general formula (I) or salts thereof (wherein X1 and X2 SAEED

ANSWER 28 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 44 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
each a free valency or a spacer having a C1-20 main chain; one of R1 and
R2 is a cyclic group which bears a substitutent selected from among (1)
carboxylated C1-6 alkoxy groups which may be substituted and (2)
carboxylated C1-6 alkoxy groups which may be substituted and
may further have other substituent, and the other is an optionally
substituted cyclic group or hydrogen; and R3, R4 and R5 are each hydrogen
or a substitutent, or alternatively R4 together with R3 or R5 may form an
optionally substituted ring, with the proviso that some compds. Of the
general formula I are excluded.) are prepd. These compds. are useful as
preventive and therapeutic drugs for disbetes, impaired glucose tolerance
(IGT), tumors, autoimmune diseases, immunodeficiency, allergies, bone
diseases, infections, joint diseases, hyperlipidemia, diabetes
complications, obesity, cachexia, fatty liver, hypertension, liver
diseases, polycystic ovary syndromes, muscular dystrophy, myocardial
infarction, angina pectoris, cerebral infarction, syndrome X, high-blood
insulin, inflammation, and arteriosclerosis or as improvers for insulin
resistance or enhancers for insulin sensitivity or blood platelet
aggregation inhibitors. Thus, cyclocondensation of 4-octylphenylamine
with 1-(4-benzyloxyphenyl)-1,4-pentanedione in the presence of
p-McCH4SOSH.RN2O in PhMe under reflux for 12 h and hydrogenation of the
resulting 1-(4-pentylphenyl)-2-methyl-5-(4-benzyloxyphenyl)-1H-pyrrole
over 104 Pd-C in ethanol under hydrogen atm. gave

4-[1-(4-pentylphenyl)-5methyl-1H-pyrrol-2-yllphenylphonylh-5-methyl-1H-pyrrol-2-yllphenylloxyl-1phenylpropanoic acid Et eater. The latter ester was converted into
(2R)-2-[4-(1-(4-pentylphenyl)-5-methyl-1H-pyrrol-2-yllphenylloxyl-3phenylpropanoic acid sodium salt (II). II showed IC50 of 0.09 µM
phenylpropanoic acid sodium salt (II). II showed IC50 of 0.09 µM
phenylpropanoic acid sodium salt (II). II showed IC50 of 0.09 µM
phenylpropanoic acid sodium salt (II). II

g. specific I, e.g. $(2R) \cdot 2 - \{4 - [1 - \{2 - (4 - bromophenyl) ethan - 1 - yl] - 5 - methyl - 1 H-pyrrol \cdot 2 - yl]phenoxy} - 3 - phenylpropanoic acid, were described. 376635 - 65 - JP$

376615-65-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyrrole derive. as tyrosine phosphatase inhibitors for preventive and therapeutic drugs for diseases such as diabetes) 376635-65-3 CAPLUS
Benzenepropanoic acid, a [4-[1-[2-(4-bromophenyl)ethyl]-4-[(decylamino)carbonyl)-5-methyl-1H-pyrrol-2-yl]phenoxy]-, ethyl ester, (aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

376635-66-4P, (2R)-2-{4-{1-(4-Bromophenethyl)-4-(decylaminocarbonyl)-5-methyl-1H-pyrrol-2-yl]phenoxy]-3-phenylpropanoic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological atudy); PREP (Preparation); USES

(Uses)
(preparation of pyrrole derivs. as tyrosine phosphatase inhibitors for preventive and therapeutic drugs for diseases such as diabetes) 376635-66-4 CAPLUS
Benzenepropanoic acid. a [4-[1-[2-(4-bromophenyl]ethyl]-4-[(decylamino)carbonyl]-5-methyl-1H-pyrrol-2-yl]phenoxy]-, (aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry

ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REPERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 29 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IТ

376640-89-0P, N-Decyl-1-(4-bromophenethyl)-5-(4-methoxyphenyl)-2-methyl-1H-pyrrole-3-carboxamide 376640-91-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of pyrrole derivs. as tyrosine phosphatase inhibitors for preventive and therapeutic drugs for diseases such as diabetes)
376640-89-0 CAPLUS

3/6640-89-0 CAPLUS
HH-Pyrrole-3-carboxamide, 1-[2-[4-bromopheny1]ethy1]-N-decy1-5-[4-methoxypheny1]-2-methy1- (9CI) (CA INDEX NAME)

376640-91-4 CAPLUS
1H-Pyrrole-3-carboxamide, 1-[2-(4-bromophenyl)ethyl]-N-decyl-5-(4-hydroxyphenyl)-2-methyl- (SCI) (CA INDEX NAME)

L6 ANSWER 10 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:699248 CAPLUS
DOCUMENT NUMBER: 136:32171
USAR and K-Nearest Neighbor Cla QSAR and k-Nearest Neighbor Classification Analysis

AUTHOR (S): CORPORATE SOURCE:

Selective Cyclooxygenase-2 Inhibitors Using Topologically-Based Numerical Descriptors Kauffman, Gregory W., Jurs, Peter C.
Department of Chemistry, The Pennsylvania State University, University Park, P.A., 18802, USA Journal of Chemical Information and Computer Sciences (2001), 41(6), 1553-1560
CODEN: JCISDB; ISSN: 0095-2338
American Chemical Society
Journal

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

NUAGE: English
Exptl. IC50 data for 314 selective cyclooxygenase-2 (COX-2) inhibitors

used to develop quantitation and classification models as a potential screening mechanism for larger libraries of target compds. Exptl. log(ICSO) values ranged from 0.23 to \geq 5.00. Numerical descriptors encoding solely topol. information are calculated for all structures and .

encoding solely topol. information are calculated for all structures and are used as inputs for linear regression, computational neural network, and classification anal. routines. Evolutionary optimization algorithms are then used to search the descriptor space for information-rich subsets which minimize the rms error of a diverse training set of compda. An eight-descriptor model was identified as a robust predictor of exptl. log(1050) values, producing a root-mean-square error of 0.625 log units for an external prediction set of inhibitors which took no part in model development. A k-nearest neighbor classification study of the data set discriminating between active and inactive members produced a mine-descriptor model able to accurately classify 83.3% of the prediction set compds. correctly.

IT 189628-32-8
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cyclooxygenase-2 inhibitor; QSAR and k-nearest neighbor classification anal. of selective cyclooxygenase-2 inhibitors using topol.-based numerical descriptors)

RN 189628-32-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N,N-diethyl-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 30 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

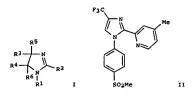
THERE ARE 50 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 31 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN US 1995-464154 A2 19950605 WO 1995-US9506 W 19950727 AU 1997-15739 A3 19970124 EP 1997-901952 A3 19970124 W 19970124 WO 1997-US300 US 1999-101493 B1 19990602 US 2001-4944 A1 20011205 US 2003-653399 A1 20030902

OTHER SOURCE(S):

MARPAT 127:190737



A class of imidazole derivs., for use in treating inflammation, is described. Compds. of particular interest are defined by formula [R1, R2 = (un)substituted aryl, cycloalkyl, cycloalkenyl, or heterocyclo; R3 = H, (un)substituted alkyl, acyl, cyano, alkoxy, alkylthio, alkylsulfonyl, cycloalkylyloxy, arylsulfonyl, halo, alkylkorbonyl, arylcarbonyl, alkoxycarbonyl, carboxyl, aminocarbonyl, aryloxy, aryl, heterocyclo,; AB etc.;

alkoxycarbonyl, carboxyl, aminocarbonyl, aryloxy, aryl, heterocyclo, R4 = H, alkyl, halo; R5 = OH, alkoxy; R6 = H; or R5R6 = pi bond; provided that at least one of R1 and R2 is aryl substituted with alkylsulfonyl, haloalkylsulfonyl, or aminosulfonyll, se well as their pharmaceutically acceptable salts. For instance, addition reaction of 2-cyano-4-methylpyridine with 4-(methylsulfonyl)sniline gave the corresponding amidine, which underwent cyclization with BFCH2COCP3, followed by acid-catalyzed dehydration of the formed 4-hydroxy-4,5-dihydroimidazole derivative, to give title compound II. In assays for inhibition of human cyclooxygenase (COX) in vitro, II had ID50 values of 0.5 and >100 µM for COX-2 and COX-1, resp. 177623-75-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of heterocyclo-substituted imidazoles as antiinflammatories)

SAEED

L6 ANSWER 31 OF 43
ACCESSION NUMBER: 1997:513G27 CAPLUS
DOCUMENT NUMBER: 127:190737
ITITLE: Heterocyclo-substituted imidazoles for the treatment of inflammation

INVENTOR(S): Khana. Ish K.; Neier, Richard M.; Collins, Paul M.; Yu, Ui; Xu, Xiangdong; Partis, Richard A.; Koszyk, Francis J.; Huff, Renee M.

PATENT ASSIGNEE(S): O.D. Searle and Co., USA; Khanna. Ish K.; Weier, Richard M.; Collins, Paul W.; Yu, Ui; Xu, Xiangdong; Partis, Richard A.; Koszyk, Francis J.; Huff, Renee M.

M. SOURCE: PCT Int. Appl., 253 pp. CODEN: PIXXD2 Patent English

DOCUMENT TYPE:

PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	TENT	NO.			KIN	D	DATE			API	P1	CAT	ION	NO.		1	ATE	
		9727					-					:					-		
	WO																		
		w:																CZ,	
																		KZ,	
																		PL,	
			KO,	RU,	SD,	SE,	SG,	51,	SK,	т,	TP	٠,	TR,	TT,	UA,	uu,	US,	UZ,	VN
		RW:	KE,	LS,	MW,	SD,	52,	UG,	AT,	BE,	0	٠,	DE,	DK,	ES,	Ρ1,	PR,	GB,	GR
									SE,	BF,	В	,	CP,	cu,	CI,	CM,	GA,	GN,	ML
			MR,	NE,	SN,	TD,	TG												
	CA	2244	83.7			A1		1997	0/31		Ċ	15	997-	2244	837			9970	124
	AU	9715	/39			A.		1997	0820		AU	15	97-	15/3	9		1	9970	124
	AU	7306	42			82		2001	0308										
	EP	2244 9715 7306 8805 8805	04			V1		1998	1202		EP	15	97-	9019	52		2	9970	124
	EP	8802	•			- 81		5003	0402										
I		R:	AI,	BE,	CH,	UE,	DK,	ES,	PR,	GB,	G	٠,	IT,	ы,	LU,	NL,	SE,	PI,	1 E
•	TD	2000	E 0 2 0	07		т		2000	0404		10			2260	26		,	9970	124
	ED	2000 1193	2623			2.2		2000	0403		20	57	301 -	1222	••		- 1	9970	124
	FP	1193	265			23		2002	0410			-	,01-	1232	0,7			. > > / 0	124
	FD	1193	265			B1		2006	1120										
		R:									GE		IT.	T.T.	tar.	NT.	SE.	PT.	TE
t		•••	,	,	٠,	,	,	,	,	Ψ.,	٠.	٠,	,	~~,	,	,	٠.,	,	
-	AT	2361	30			т		2003	0415		ΑТ	19	97-	9019	52		1	9970	124
	PT	8805	04			T												9970	
	ES	2361 8805 2197	983			Т3												9970	
	AT	3468	49			T												9970	
	ZA	9700	670			Ā		1998	0416		ZA	15	97-	670	••		i	9970	127
	AU	9700 7679	93			B2		2003	1127		AU	20	001-	1110	0		2	0010	109
	US	20031	0365	57		A1		2003	0220		US	20	001-	1944			2	0011	205
	US	6613	789			B2		2003	0902										
	US	2005	0963	68		A1		2005	0505		US	20	03-6	5533	99		2	0030	902
	US	2005	2561	20		A1		2005	1117		US	20	005-	1830	16		2	0050	715
RIO	RITY	2005 2005 2005	LN.	INFO	. :						US	15	96-	5921	67		A1 1	9960	126
											tic	10	94-	2822	05		B2 1	9940	728

L6 ANSMER 32 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:109987 CAPLUS
DOCUMENT NUMBER: 126:324935
1,2-Diarylimidazoles as potent, cyclooxygenase-2
selective and orally active antiinflammatory agents
AUTHOR(S): Khanna, Ish K.; Meier, Richard M.; Yu, Yi; Xu, Xiang
D.; Koszyk, Francis J.; Collins, Paul M.; Koboldt,
Carol M.; Veenhuizen, Amy W.; Perkins, William E.;
Casler, Jacquelen J.; Masferrer, Jaime L.; Zhang,

Y.; Gregory, Susan A.; Seibert, Karen; Isakson, Peter C.

CORPORATE SOURCE: Discovery Medicinal Chemistry and Inflammatory

Research, Searle Research and Development, Skokie,

Research, Searle Research and Development, Skokie,

11.

SOURCE:

SOUTH SOURCE:

1614-1647

CODEN: JACWAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

Journal Journal

American Chemical Society

Journal

American Contain

highly potent and selective inhibitors of the human COX-2 enzyme. The

paper describes a short synthesis of the target 1,2-disrylimidazoles

starting with aryl nitriles. Different portions of the diarylimidazole were modified to establish SAR. Systematic variations of the

substituents

in the aryl ring has yielded very potent (ICSO = 10-100 nm) and selective

(1000-12500) inhibitors of the COX-2 enzyme. The study on the influence

of substituents in the imidazole ring established that a CF3 group at

position 4 gives the optimum oral activity. A number of the

diarylimidazoles

showed excellent inhibition in the adjuvant induced arthritis model

(e.g.,

(e.g. ... ED50 = 0.02 mpk for 22 and 34). The diarylimidazoles are also potent inhibitors of carrageenan-induced edema (ED50 = 9-30 mpk) and

inhibitors of carrageenan-induced edema (ED50 = 9-30 mpk) and hyperalgeaia (ED50 = 11-40 mpk). Several orally active diarylimidazoles show no GI toxicity in the rat and mouse up to 200 mpk.

In 189628-32-8P RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USSS (Uses) (synthesis and structure of 1,2-diarylimidazoles as cyclooxygenase selective and orally active antiinflammatory agents)

RN 189628-32-8 CAPLUS

CN 1H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N.N-diethyl-1-[4-(methylsulfonyl)phenyl)- (9CI) (CA INDEX NAME)

L6 ANSMER 33 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN
ACCESSION NUMBER: 1997:231464 CAPLUS
DOCUMENT NUMBER: 126:317382
TITLE: Preparation of 1,2-diarylimida:

INVENTOR(S):

126:317382
Preparation of 1,2-diarylimidazoles as cyclooxygenase-2 inhibitors
Khanna, Ish K.; Weier, Richard M.; Collins, Paul W.; Yu, Yi; Xu, Xiangdong; Partis, Richard A.; Koszyk, Francis J.

PATENT ASSIGNEE(S): SOURCE:

G.D. Searle and Co., USA
U.S., 65 pp., Cont.-in-part of U.S. Ser. No. 282,395, abandoned.

CODEN: USXXAM Patent

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT	NQ.			KIN	D	DATE			APPI	ICAT	ION	NO.			DATE	
						-											
	US 561	6601			A		1997	0401		US 1	995-	4641	54			19950	605
	CA 219	5845			A1		1996	0208		CA 1	995-	2195	845			19950	727
	WO 960	3388.			A1		1996	0208		WO 1	995-	US95	06			19950	727
	US 561 CA 219 WO 960 W:	AM.	AT.	AU.	BB.	BG,	BR,	BY.	CA.	CH.	CN,	cz.	DE.	DK.	EE	. ES.	FI.
		GB.	GE.	HU.	IS.	JP.	KE.	KG.	KP.	KR.	KZ.	LK.	LR.	LT.	LU	LV.	MD.
																. sk.	
			TT						,	,	,	,	,	,		,,	,
	DW			cD.	67	110	AT	25	CN.	DE	DK	FC	FD	an	a	, IE,	17
																, MR.	
			TD,			34,	J.,	٠.,	٠.,		٠.,	C.,,	un,	Giv,	1-12	, 140,	мы,
	*** 053	2025	10,	10									_				
	RD 333	2023			٠.		1770	0222		no :	222	3202				19950	727
	AU 953 EP 772 EP 772	600			~1		1997	0010		C.P .	775-	9481	64			19950	1/2/
	EP 1/2	500			81		2002	0318									
	K:	AT,	BE,	CH,	DE,	DK,	ES,	PR,	GB,	GK,	IE,	IT,	ш,	LU,	NL	, PT,	SK
	JP 105	03211			T		1998	0324		3 2 1	995-	5059	72			19950	727
	JP 105 AT 224 PT 772 ES 216 AU 767 US 200	374			T		2002	1015		AT 1	995-	9281	64			19950	727
	Pr 772	600			T		2003	0131		PT 1	995-	9281	64			19950	727
	ES 218	3883			T3		2003	0401		ES 1	995-	9281	64			19950	727
	AU 767	993			B2		2003	1127	- 1	AU 2	001-	1110	0			20010	109
,	US 200	30365	57		A1		2003	0220	- 1	US 2	001-	4944				20011	205
	US 661	3789			82		2003	0902									
	US 200	50963	68		A1		2005	0505	-	US 2	003-	6533	99			20030	902
	US 200	52561	20		A1		2005	1117	-	US 2	005-	1830	16			20050	715
PRIO	US 200 US 200 RITY AP	PLN.	INFO	. :					1	US 1	994-	2823	95	-	B2	19940	728
									,	US 1	995-	4641	54		A	19950	605
									1	WO 1	995-	US 9 5	06	1	W	19950 19950 19970	727
										AU 1	997-	1573	9		A3	19970	124
									1	NO 1	997-1	US30	0	,	H	19970	124
									1	JS 1	999-	1014	93	1	81	19990	602
																20011	
									,	JS 2	003-	6533	99	1	A1	20030	902

OTHER SOURCE(S): MARPAT 126:317382

SAEED

ANSWER 32 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 33 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Title compds. II; 1 of R1,R2 = amino- or alkyleulfonyl-substituted (heterolaryl and the other = (un)substituted (heterolaryl; R3 = H, acyl, alkyl; R = GN or alkoy; R6 = 4H, halo, alkyl; R5 = GN or alkoy; R6 = 4H, halo, alkyl; R5 = GN or alkoy; R6 = 4H, halo, alkyl; R5 = 4H, halo, alky AB

RSR6 = bond| were prepared Thus, 4-ClC6H4CN was sminated by 4-(MeOAS)C6H4RN2 and the product cyclocondensed with CF3COCH2Br to give, after dehydration, I [R1 = C6H4(SOZMe)-4, R2 = C6H4Cl-4, R3 = CF3, R4 =

H,

RSR6 = bond|. Data for biol. activity of I were given.

17 189295-82-7P

RL: BAC (Biological activity or effector, except adverse); BSU

(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Usea)

(preparation of 1,2-disrylimidazoles as cyclooxygenase-2 inhibitors)

RN 189295-82-7 CAPUUS

CN 1H-Imidazole-4-carboxamide,
1-(4-(aminosulfonyl)phenyl)-2-(4-chlorophenyl)N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 34 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1996:363276 CAPLUS DOCUMENT NUMBER: 125:33646 125:33646 inidazolyl comp

treatment

1,2-Substituted imidazolyl compounds for the

INVENTOR(S):

of inflammation

Yu, Yi; Xu, Xiangdong; Huff, Renee M.; Partis,

WO 1997-US300

US 1999-101493

US 2001-4944 US 2003-653399 W 19970124

A1 20011205

A1 20030902

Richard

PATENT ASSIGNEE(S):

A.; Koszyk, Francis J. G.D. Searle and Co., USA PCT Int. Appl., 249 pp. CODEN: PIXXD2 Patent

DOCUMENT TYPE:

English PAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA'	TENT	NO.			KIN	D	DATE	:		APPL	ICAT	ION	NO.			DATE	
	WO	9603	388			Al		1996	0208	1	NO 1	995-	US 95	06			19950	727
		W:	AM,	AT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN.	CZ.	DE.	DK.	EE	ES.	FI.
			GB,	GE,	HU,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LK,	LR,	LT.	LU	LV.	MD.
			MG,	MIN,	MW,	MX,	NO.	NZ,	PL,	PT,	RO,	RU,	SD,	SE.	SG,	SI	SK.	TJ.
			TM,	TT														
		RW:	KE,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	. IE.	IT.
																	, MR,	
			SN,	TD,	TG													
	US	5616 9532	601			A		1997	0401		US 1	995-	4641	54			19950	605
	AU	9532	025			A		1996	0222		AU 1	995 -	3202	5			19950	727
	EP	7726	00			A1		1997	0514	1	EP 1	995-	9281	64			19950	727
	EP	7726	00			B1		2002	0918									
		R:	AT,	BE,	CH,	DE,	DK,	ES.	FR,	GB,	GR,	IE,	IT,	LI,	LU,	NL	PT,	5E
	JP	1050 2243 7679	3211			T		1998	0324		JP 1	995-	5059	72			19950	727
	AT	2243	74			T		2002	1015	,	AT 1	995-	9281	64			19950	727
	AU	7679	93			B2		2003	1127	,	AU 2	001-	1110	0		- :	0010	109
	US	2003	0365	57		A1		2003	0220	ι	JS 2	001-	1944			- 1	20011	205
	US	6613	789			B2		2003	0902									
	US	2005	0963	68		A1		2005	0505	ι	JS 2	003-	5533	99			20030	902
	us	2005	25612	20		A1		2005	1117		JS 2	005-	1830	16		:	0050	715
PR	IORITY	2005 APP	LN.	INFO	. :					τ	JS 1	994-	2823	95	,	١.	9940	728
										ι	JS 1	995-	1641	54	,	١:	9950	605
																	•	
											1 00	995-1	JS 95	06	1	()	9950	727
										,	NU 1	997-	1573	9	1	13 :	9970	124

ANSWER 34 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN R SOURCE(S): MARPAT 125:33646 (Continued) OTHER SOURCE(S):

1

AB A class of imidazolyl compds., which are selective inhibitors of cyclooxygenese 2 (COX 2), is described. The compds. are useful in treating inflammation and related disorders (arthritis, fever, and pain). Compds. of particular interest are I R3 = H, (un) substituted alkyl, aralkyl, heterocycloalkyl, acyl, cyano, alkoxy, alkylthio, cycloalkoxy, halo, substituted carbonyl, sulfonyl, oxy, thio, aryl, and heteroaryl; R7 = alkyl or amino; R8 = ≥ 1 of H, halo, alkyl, haloalkyl, alkoxyl, amino, heloalkoxy, cyano, CO2H, OH, hydroxyalkyl, alkoxyalkyl, alkoxyalkyl,

ÍΙ

the resultant amidine with BrCH2COCF3 (60%), and dehydration of the obtained hydroxydihydroimidazole derivative using p-MeC6H4SO3H (23%),

title compound II. In the carrageenan-induced rat paw edema and

title compound II. In the carrageenan-induced rat paw eneme and analgesia tests, II gave 57% inhibition of edema at 30 mg/kg orally, and 51% inhibition of hyperalgesic foot withdrawal at 10 mg/kg orally. Inhibition data for recombinant COX 1 and 2 are also given.

IT 177662-75-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of imidazole deriva. as antiinflammatories)
RN 177662-75-8 CAPLUS
CN H-Imidazole-4-carboxamide, 2-(4-chlorophenyl)-N-methoxy-N-methyl-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 34 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1996:122149 CAPLUS DOCUMENT NUMBER: 124:289156

DOCUMENT NUMBER:

124:289156
Synthesis of some new 4,5-diphenyl-3-(N-methyl/N,N-diethyl)carbamoyl-2-methyl-1-substituted-1H-pyrroles and their fungicidal activity
Sadamandam, Y. S.; Leelavathi, P.; Shetty, Meera M. Organic Chemistry Division-I, Indian Institute
Chemical Technology, Hyderabad, 500 007, India
Indian Journal of Heterocyclic Chemistry (1995), AUTHOR(S): CORPORATE SOURCE:

50URCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

125-8
CODEN: IJCHEI; ISSN: 0971-1627
LUCKNOW University, Dep. of Chemistry
JOURNAT TYPE: Journal
JUAGE: English
A number of new title compds. (6) have been synthesized by the reaction

N-methyl/N,N-diethylacetoacetamide with benzoin and various alkyl, aryl and aralkylamines in the presence of formic acid. Compds. 6 showed appreciable antifungal activity mild bactericidal activity. 175475-93-1P 175475-94-2P 175475-95-3P 175476-00-3P

175475-94-2 CAPLUS
1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(4-methylphenyl)-4,5-diphenyl-(9CI) (CA INDEX NAME)

ANSWER 35 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

175475-95-3 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N,2-dimethyl-4,5-diphenyl-(9C1) (CA INDEX NAME)

175476-00-3 CAPLUS 1H-Pyrrole-3-carboxamide, N,2-dimethyl-4,5-diphenyl-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

175475-96-4P 175475-97-5P 175475-98-6P

L6 ANSWER 35 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 175475-99-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
1-(3,4-dichlorophenyl)-N,2-dimethyl-4,5-diphenyl(9C1) (CA INDEX NAME)

175476-01-4 CAPLUS
1H-Pyrrole-3-carboxamide, N,2-dimethyl-4,5-diphenyl-1-(1-phenylethyl)-(9CI) (CA INDEX NAME) RN CN

ANSWER 35 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
175475-99-7P 175476-01-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
175475-96-4 CAPLUS
175475-96-4 CAPLUS
11-Pyrrole-3-carboxamide, 1-(4-methoxyphenyl)-N,2-dimethyl-4,5-diphenyl-(9CI) (CA INDEX NAME)

175475-97-5 CAPLUS
1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(2-nitrophenyl)-4,5-diphenyl-(9CI) (CA INDEX NAME)

175475-98-6 CAPLUS
1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(4-nitrophenyl)-4,5-diphenyl-(9CI) (CA INDEX NAME)

L6 ANSMER 36 OF 43
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
Studies on anti-Candida agents with a pyrrole moiety.
Synthesis and microbiological activity of some
3-(aminomethyl)-1,5-diaryl-2-methylpyrrole

derivatives AUTHOR(S): CORPORATE SOURCE: Univ. Cerreto, F.; Villa, A.; Retico, A.; Scalzo, M. Dip. Studi Chim. Technol. Sostanze Biol. Attive,

La Sapienza, Rome, 00185, Italy European Journal of Medicinal Chemistry (1992),

701-8 CODEN: EJMCA5; ISSN: 0223-5234 Journal English

DOCUMENT TYPE: LANGUAGE: GI

AB The synthesis and anti-Candida activity of some 3-aminomethyl-1,5-diaryl-2-methylpyrroles, e.g., I (R = H, 4-Cl, 4-F, 2,4-Cl2; R1 = H, Cl; R2 = MACC

methylpyrroles, e.g., 1 (K = N, V-C., NH2),
NM2,
NHPh, pyrrolidino, 1-imidazolyl, 4-methylpiperazin-1-yl) are reported.
Some derivs, show a rather strong anti-Candida activity. On the basis of exptl. results, microbiol. activity of 1,5-diarylpyrroles appears to be mainly related to aminic nitrogen lone pair availability of C3 substituent
of the pyrrole nucleus. The C5 and N1 substituents play an important role

in modulating biol. activity. Some structure-activity relationships are in modulating biol, activity. Some structure-activity relationships are proposed.

IT 146204-81-1P
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN-(Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antifungal activity of)
RN 146204-81-1 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1,5-bis(4-chlorophenyl)-N,N,2-trimethyl- (9CI)
(CA INDEX NAME)

L6 ANSWER 36 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ANSWER 37 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

146429-89-2 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2-chloropheny1)-N,N-bis(2-hydroxyethy1)-2-methy1-5-(4-nitropheny1)- (9CI) (CA INDEX NAME)

146429-90-5 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(4-chloropheny1)-N,N-bis(2-hydroxyethy1)-2-methy1-5-(4-nitropheny1)- (9CI) (CA INDEX NAME)

SAEED

L6 ANSWER 37 OF 43
ACCESSION NUMBER:
DOCUMENT NUMBER:
1993:120801 CAPLUS
TITLE:
Studies on anti-Candida agents with a pyrrole moiety.
Synthesis and microbiological activity of some
[(1-alkyl), (1-aryl) and (1-benzyl)-5-aryl-3carboxamido-2-methyl)pyrrole derivatives
Scalzo, Marcello; Biava, Mariangela; Cerreto, Pelice;
Villa, Adelaide
CORPORATE SOURCE:
Dip. Studi Chim. Tecnol., Univ. "La Sapienza", Rome,
Italy
SOURCE:
Parmaco (1992), 47(7-8), 1047-53
COODEN: FRMCES; ISSN: 0014-827X
DOCUMENT TYPE:
JOURNAI
LANGUAGE:
English
AB The synthesis of some [(1-alkyl), (1-aryl) and (1-benzyl)-5-aryl-3carboxamido-2-methyl)pyrrole derive; is reported. Their activity against
Candida strains was assessed and the structure-activity yrelationships for
these compds. are discussed and related to structure-activity guidelines
proposed for a series of previously studied 1,5-diarylpyrroles.

IT 146429-87-0P 146439-88-1P 146429-89-2P
146429-97-16449-88-1P 146429-88-9
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological
study); PREP (Preparation)
(preparation and fungicidal activity of)
RN 146429-87-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N.N-bis(2-hydroxyethyl)-2-methyl-5-(4nitrophenyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

146429-88-1 CAPLUS
1H-Pyrrole-3-carboxamide, 1-[(4-chlorophenyl)methyl)-N.N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME).

(Continued)

L6 ANSWER 37 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN 146429-91-6 CAPLUS CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 38 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:565938 CAPLUS
DOCUMENT NUMBER: 17:165938
PYTRO16 dicarboxylic acid derivatives and herbicides containing them
INVENTOR(S): Indixawa, Hiromichi; Morita, Takeshi; Nakamura, Toshiki; Yoshizawa, Hirokazu
Hokko Chemical Industry Co., Ltd., Japan
Jon. Kokai Tokkyo Koho, 11 pp.
CODEN: JKCKAF
DOCUMENT TYPE: Patent
LANGUAGE: Japansee
FAMILY ACC. NUM. COUNT: 1
PATENT INPORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE KIND DATE JP 04145078 PRIORITY APPLN. INFO.: JP 1990-265232 JP 1990-265232 19901004 19920519

OTHER SOURCE(S):

MARPAT 117:165938

Pyrrole dicarboxylic acid derivs. I [R1 = H, lower alkyl, Ph lower alkyl; R2 = OH, lower alkoxy, lower alkylthio, NR4R5 (R4, R5 = H, lower alkyl; 2,6-diethylphenyl); R3 = pyridyl, thienyl, turyl, CF3] and herbicides containing I as active ingredients are claimed. Thus, 7.1 g di-Me acetylenedicarboxylate, 12.8 g N-nicotinoylphenylglycine, and acetic anhydride were stirred at 140° for 1 h to give 10.0 g I (R1 = H, R2 = OMe, R3 = pyridyl; II). II 15, white carbon 15, Ca ligninsulfonate 3, polyoxyethylene nonylphenyl ether 2, kieselguhr 5, and clay 60 parts were mixed to give an wettable powder. II at 50 g/10 are totally controlled Panicum Crus-galli, Alisma canaliculatum, etc., without damaging rice,

less effect for butachlor.

143428-25-5P 143428-29-9P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological actudy, unclassified); SPN (Synthetic preparation); BIOL (Biological actudy); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

143428-25-5 CAPLUS
HI-Pyrrole-3,4-dicarboxamide, N,N'-diethyl-2-phenyl-1-(phenylmethyl)-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 39 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1992:128771 CAPLUS DOCUMENT NUMBER: 116:128771

CORPORATE SOURCE:

SOURCE:

AUTHOR (S):

116:128771
Synthesis of 1H-imidazoles by the simple ring transformation of 5-acylaminouracils and 5-acylaminopyrimidin-4(3H)-ones Matsuura, Izumi; Ueda, Taisei; Murakami, Nobutoshi; Nagai, Shinichi; Sakakibara, Jinsaku Fac. Pharm. Sci., Nagoya City Univ., Nagoya, 467, Japan

Japan
Journal of the Chemical Society, Perkin Transactions
1: Organic and Bio-Organic Chemistry (1972-1999)
(1991), (11), 2821-6
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal LANGUAGE: English

CASREACT 116:128771 OTHER SOURCE(S):

1,2-Disubstituted 4-alkylcarbamoyl-5-methyl-1H-imidazoles, e.g. I, and 2-substituted 5-methyl-4-phenylcarbamoyl-1H-imidazoles were synthesized from 5-acylamino-6-methyl-1-a-phenylpyrimidin-4(3H)ones by treatment with sodium hydroxide in ethanol. In the case of 5-acylaminopyrimidiones which possess an olefinic group in the acylamino group, 2-ethoxyethyl (or 2-ethoxypropyl)-5-methyl-4-phenylcarbamoyl-1H-imidazoles were prepared as major products and the corresponding 2-alkenyl-1H-imidazoles were only minor products. Compds. which contain an aryl function in their acylamino group gave glycine anilides as byproducts.

120319-08-6P
RL: SPN (Synthetic preparation); PREP (Preparation)

120319-08-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
120319-08-6 CAPLUS
1H-Imidazole-4-carboxamide, N.5-dimethyl-1,2-diphenyl- (9CI) (CA INDEX

SAEED

ANSWER 38 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

143428-29-9 CAPLUS 1H-Pyrrole-3,4-dicarboxamide, 2-phenyl-1-(2-phenylethyl)-N,N'-dipropyl-5-(2-thienyl)- (9CI) (CA INDEX NAME)

ANSWER 39 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:
111:93768 CAPLUS
111:93768 CAPLUS
111:93768 Synthesis and microbiological activity of new
1,5-diarylpyrroles
AUTHOR(S):
SCAIRCO, Marcello; Biava, Mariangela; Cerreto, Felice;
Porretta, Giulo Cesare; Panico, Salvatore; Simonetti,
Nicola
CORPORATE SOURCE:
50URCE:
50URCE: CORPORATE SOURCE: SOURCE: 23(6),

SOURCE: European Journal of Medicinal Chemistry (1988),
23(6),
587-91
CODEN: EJMCAS; ISSN: 0223-5234

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:93768
AB A series of 1,5-diarylpyrrole derive, were synthesized and tested in
vitro
for their activity against bacteria and fungi. Porty-eight derive, were
evaluated for their antifungal activity against Candida albicans and
various strains of Candida species. The antibacterial activity of 10
derive, was evaluated against gram-pos, and gram-neg, bacteria.
Structure-activity relations are discussed.
IT 122131-42-09 122121-43-19 122121-44-29
122131-43-19 122121-44-29
122131-45-39 122121-45-79 122121-55-59
122131-53-39 122121-54-97 122121-55-59
122131-60-07 122121-63-79 122121-53-59
122131-60-07 122121-63-79 122121-53-59
122131-64-67 122121-63-79 122121-70-49
123131-64-67 123121-69-19 123121-70-49
123131-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-67 123121-73-79
123121-64-75 123121-73-79
123121-64-75 123121-73-79
123121-64-75 123121-73-79
123121-64-75 123121-73-79
123121-64-75 123121-73-79
123121-64-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79
123121-73-75 123121-73-79

logical
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation and antimicrobial activity of)
122121-42-0 CAPLUS
11-Pyrrole-3-carboxamide, 1-(4-fluorophenyl)-N-hexyl-2-methyl-5-(4nitrophenyl)- (9CI) (CA INDEX NAME)

(Continued)

ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

RN 122121-45-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
N-[(4-chlorophenyl)]methyl]-1-(4-fluorophenyl)-2methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

122121-47-5 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-N-hexyl-2-methyl-5-(4-ntrophenyl)- (9C1) (CA INDEX NAME)

ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Me- (CH2)5-

122121-43-1 CAPLUS
1H-Pyrrole-3-carboxamide, N-dodecyl-1-{4-fluorophenyl}-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

Me- (CH₂) 11-

122121-44-2 CAPLUS
1H-Pyrrole-3-carboxamide,
-fluorophenyl)-2-methyl-5-(4-nitrophenyl)-N(phenylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

Me- (CH2) 5

122121-48-6 CAPLUS
1H-Pyrrole-3-carboxemide, 1-(4-bromophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9C1) (CA INDEX NAME)

(Continued)

Me- (CH2) 11

122121-49-7 CAPLUS
1H-Pyrcole-3-carboxamide, 1-(4-bromophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

ANSMER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
122121-50-0 CAPLUS
HH-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-N-((4-chlorophenyl)methyl)-2methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

122121-52:2 CAPLUS
1H-Pytrole-3-carboxamide, N-hexyl-2-methyl-5-(4-nitrophenyl)-1-(3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

122121-53-3 CAPLUS
1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(4-nitrophenyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 122121-57-7 CAPLUS CN 1H-Pyrrole-3-carboxamide, 1-[2-chloro-5-(trifluoromethyl)phenyl]-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 122121-58-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
1-[2-chloro-5-(trifloromethyl)phenyl]-N-dodecyl2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 122121-54-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)-1-[3(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

122121-55-5 CAPLUS
1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-2-methyl-5-(4-nitrophenyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

122121-59-9 CAPLUS
1H-Pyrrole-3-carboxamide,
-chloro-5-(trifluoromethyl)phenyl]-2-methyl5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

122121-60-2 CAPLUS
1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-{2-chloro-5-(trifluoromethyl)phenyl]-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 122121-62-4 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl) (CA INDEX NAME)

RN 122121-63-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 122121-67-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 122121-68-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)-(9C1) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 122121-64-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 122121-65-7 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-{(4-chlorophenyl)methyl}-1-(3,5-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 122121-69-1 CAPLUS
CN 1H-Pytrole-3-carboxamide, 1-{3,4-dichlorophenyl}-2-methyl-5-{4-nitrophenyl}-N-{phenylmethyl}- {9CI} (CA INDEX NAME)

RN 122121-70-4 CAPUS
CN 1H-Pyrrole-3-carboxamide, N-{(4-chlorophenyl)methyl}-1-(3,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

122121-71-5 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

122121-72-6 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 40 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

122121-73-7 CAPLUS
1H-Pyrrole-3-carboxamide, N-((4-chlorophenyl)methyl)-1-(2,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

122148-64-5 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(4-ntrophenyl)- (9C1) (CA INDEX NAME)

L6 ANSWER 41 OF 43
ACCESSION NUMBER:
DOCUMENT NUMBER:
1101192713 CAPLUS
110192713 CAPLUS
11

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

AB 1.3-Disubstituted 5-acylamino-6-methyluracils I (R1-R3 = Ph, Me) were transformed into 1.2-disubstituted 4-alkylcarbamoyl-5-methyl-1H-imidazoles II (asme R's) by treatment with 5% aqueous NaOH in EtOH. Similarly, reaction of 5-acylamino-6-methyl-3-phenyl-4-(3H)-pyrimidinones III (R4 = Me, Ph, Et, Pr) with 5% aqueous acdium hydroxide in ethenol gave 2-substituted 5-methyl-4-phenylcarbamoyl-1H-imidazoles IV (same R4's).

IT 120319-08-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and crystal structure of)
RN 120319-08-6 CAPLUS
NAME)

4

SAEED

L6 ANSWER 41 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

118209-78-2 CAPLUS
1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-1-(4-nitrophenyl)-5-phenyl-(9C1) (CA INDEX NAME)

118209-81-7 CAPLUS
1H-Pyrrole-3-carboxamide, 1-{4-chlorophenyl}-N-dodecyl-2-methyl-5-phenyl-(SCI) (CA INDEX NAME)

SAEED

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 1989:36649 CAPLUS DOCUMENT NUMBER: 110:36649

DOCUMENT NUMBER: TITLE:

110:36649
Compounds with antibacterial and antifungal activity. Part IV. Synthesis and microbiological activity of new 1.5-diarylpyrole derivatives Scalzo, M., Porretta, G. C.; Chimenti, F.; Casanova, M. C.; Panico, S.; Simonetti, N. Dip. Chim. Tecnol. Sostenze Biol. Attive, Univ. "La Sapienza", Rome, Italy Parmaco, Edizione Scientifica (1988), 43(9), 665-76 CODEN: PRPSAX; ISSN: 0430-0920 Journal Italian CASREACT 110:36649

AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

DOCUMENT TYPE:

CASREACT 110:36649

OTHER SOURCE(S):

The synthesis and antifungal activities of new 1,5-diarylpyrrole derivs.

AB The synthesis and antifungal activities of new 1,5-diarylpyrrole derivs.

(R = NO2, Cl; Rl = HNC6H11, HNC12H25, HNC6H13, N-methylpiperazinyl; R2 = H, NO2; n = 1 or 2) are reported. In comparison with pyrrolnitrin, only carboxamide derivs. exhibit satisfactory antifungal activity. All the compds. show very poor antibacterial activity. The displacement of the NO2 group from the para to the meta or ortho positions of the aryl at C5 of the pyrrole ring affects the antimicrobial activity.

IT 18209-77-1P 18209-78-2P 18209-81-7P 18209-82-8P 18209-83-8P 18209-83-8P 18209-81-PP 18209-81-8P 18209-89-5P 18209-93-2P 18209-91-2P 18

ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 118209-82-8 CAPLUS 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-phenyl-(SCI) (CA INDEX NAME)

Me- (CH2) 5-NH

118209-84-0 CAPLUS 1H-Pyrrole-3-cerboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)

118209-85-1 CAPLUS 1H-Pyrrole-3-carboxamide, 4-dichloropheny1)-N-hexyl-2-methyl-5-phenyl-(9C1) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118209-88-4 CAPLUS
CN 1H-Pyrrole-3-carboxsmide, N-dodecyl-2-methyl-5-(2-nitrophenyl)-1-(4-nitrophenyl) (CA INDEX NAME)

RN 118209-89-5 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-5-(2-nitrophenyl)-1-(4-nitrophenyl)-(SCI) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118209-95-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-{2,4-dichlorophenyl}-N-hexyl-2-methyl-5-{2-nitrophenyl}-|SCI | (CA INDEX NAME)

RN 118209-98-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(3-nitrophenyl)-1-(4-nitrophenyl)- (9C1) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118209-92-0 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 118209-94-2 CAPLUS CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 118209-99-7 CAPLUS
CN H-Fyrrole-3-carboxamide, N-hexyl-2-methyl-5-(3-nitrophenyl)-1-(4nitrophenyl)- (9CI) (CA INDEX NAME)

RN 118210-02-9 CAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-(3-nitrophenyl)-(GC1 INDEX NAME)

RN 118210-03-0 CAPLUS
CN IH-Pytrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-(3-nitrophenyl)-(9C1) (CA INDEX NAME)

L6 ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

118210-06-3 CAPLUS
.HI-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(3-nitrophenyl)- (9C1) (CA INDEX NAME)

118210-07-4 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:20996 CAPLUS
DOCUMENT NUMBER: 110:20996
TITLE: Compounds with antibacterial and antifungal activity.
Part V. Synthesis and microbiological activity of

new

AUTHOR (S):

1,5-diarylpyrrole derivatives
Scalzo, M.; Porretta, G. C.; Chimenti, F.; Bolasco,
A.; Casanova, M. C.; Simonetti, N.; Villa, A.
Dip. Chim. Tecnol. Sostanze Biol. Attive, Univ. *La
Sapienza*, Rome, Italy
Farmaco, Edizione Scientifica (1988), 43(9), 677-91
CODEN: FRPSAX; ISSN: 0430-0920

CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

Journal Italian CASREACT 110:20996

LANGUAGE: OTHER SOURCE(S):

$$\mathbb{R}^2 \xrightarrow{\text{COR}^1} \mathbb{R}_n$$

AB The synthesis and antifungal activities of the new 1,5-diarylpyrrole derivs. I (R = NO2, Cl; R1 = HNC6H13, HNC12H25, N-methylpiperazinyl, cyclohexylamino; R2 = F, Cl, Br, Me, OMe; n = 1 or 2) are reported. The N-methylpiperazinyl substituent is fundamental to activity. The presence of substituents at the para position of the two Ph rings and the presence of substituents at the para position of the two Ph rings and the presence of halogae atoms enhance microbiol, activity. The results are discussed in relation to structure-activity relationships.

II 18179-24-1P 118179-25-2P 118179-28-5P 118179-29-7P 118179-29-6P 118179-29-6P 118179-25-6P 118179-40-1P 118179-40-1P 118179-40-P 118179-40-P 118179-5-6P 118179-5-5P 118179-5-5P 118179-5-5P 118179-5-18-P 118179-5-9-18179-5-3-BP 118179-61-3P 118209-19-1P 118219-18-47-P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and antimicrobial activity of) 118179-24-1 CAPLUS 118179-24-1 CAPLUS 118179-24-1 CAPLUS 118-Pyrrole-3-carboxamide, 5-(4-fluorophenyl)-N-hexyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

ANSWER 42 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

118228-53-8 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-(2-nttrophenyl)- (9C1) (CA INDEX NAME)

(Continued)

ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

118179-25-2 CAPLUS
1H-Pyrrole-3-carboxamide, N-dodecyl-5-(4-fluorophenyl)-2-methyl-1-(4-nitrophenyl)-(9CI) (CA INDEX NAME)

RN 118179-28-5 CAPLUS
CN 1H-Pyrrole-3-cerboxamide,
1-(4-chlorophenyl)-5-(4-fluorophenyl)-N-hexyl-2methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

RN 118179-29-6 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
1-(4-chlorophenyl)-N-dodecyl-5-(4-fluorophenyl)2-methyl- (9CI) (CA INDEX NAME)

118179-32-1 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-5-(4-fluorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)

118179-33-2 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-5-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

118179-40-1 CAPLUS
1H-Pyrrole-3-carboxamide, 1,5-bis(4-chlorophenyl)-N-hexyl-2-methyl- (9CI)
(CA INDEX NAME)

118179-41-2 CAPLUS 1H-Pyrrole-3-carboxamide, 1,5-bis(4-chlorophenyl)-N-dodecyl-2-methyl-(9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

118179-36-5 CAPLUS
1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-N-hexyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

118179-37-6 CAPLUS
1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-N-dodecyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
118179-44-5 CAPLUS
118179-44-6 -3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)

118179-45-6 CAPLUS
1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl- (9Cl) (CA INDEX NAME)

118179-48-9 CAPLUS
1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-N-hexyl-2-mathyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

118179-49-0 CAPLUS
1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-N-dodecyl-2-methyl-1-(4-nitrophenyl)- (9C1) (CA INDEX NAME)

118179-52-5 CAPLUS
1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-1-(4-chlorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

118179-59-2 CAPLUS
1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-5-(4-methylphenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

118179-60-5 CAPLUS
1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(4-methylphenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118179-55-8 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-N-hexyl2-methyl- {9Cl} (CA INDEX NAME)

118179-56-9 CAPLUS
1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl- (9CI) (CA INDEX NAME)

ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued) 118179-63-8 CAPLUS
H1-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-(4-methylphenyl)- (9Cl) (CA INDEX NAME)

118179-64-9 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

118179-67-2 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

118179-68-3 CAPLUS
1H-Pyrrole-3-carboxamide, 1-{2,4-dichlorophenyl}-N-dodecyl-2-methyl-5-{4-methylphenyl}- (9CI) (CA INDEX NAME)

118179-71-8 CAPLUS
1H-Pyrrole-3-carboxamide, N-hexyl-5-(4-methoxyphenyl)-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 118179-76-3 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
1-(4-chlorophenyl)-1-N-dodecyl-5-(4-methoxyphenyl)2-methyl- (9CI) (CA INDEX NAME)

118209-18-0 CAPLUS 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

SAEED

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

118179-72-9 CAPLUS
1H-Pyrrole-3-carboxamide, N-dodecyl-5-(4-methoxyphenyl)-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 118179-75-2 CAPLUS
CN 1H-Pyrrole-3-carboxamide,
1-(4-chlorophenyl)-N-hexyl-5-(4-methoxyphenyl)-2methyl-(9Cl) (CA INDEX NAME)

L6 ANSWER 43 OF 43 CAPLUS COPYRIGHT 2007 ACS on STN

118209-19-1 CAPLUS
1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

118210-84-7 CAPLUS 1H-Pyrrole-3-carboxamide, (4-bromophenyl)-1-(4-chlorophenyl)-N-dodecyl-2-methyl- (9CI) (CA INDEX NAME)

=> LOGOFF

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:Y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 228.02 401.68

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -33.54 -33.54

STN INTERNATIONAL LOGOFF AT 15:06:26 ON 04 FEB 2007

This Page is inserted by IFW Indexing and Scanning Operations and is not part of the Official Record

BEST AVAILABLE IMAGES

Defective images within this document are accurate representations of the original documents submitted by the applicant.

Defects in the images include but are not limited to the items checked:

G BLACK BORDERS
☐ IMAGE CUT OFF AT TOP, BOTTOM OR SIDES
☐ FADED TEXT OR DRAWING
☐ BLURED OR ILLEGIBLE TEXT OR DRAWING
☐ SKEWED/SLANTED IMAGES
☐ COLORED OR BLACK AND WHITE PHOTOGRAPHS
GRAY SCALE DOCUMENTS
LINES OR MARKS ON ORIGINAL DOCUMENT
REPERENCE(S) OR EXHIBIT(S) SUBMITTED ARE POOR QUALITY
OTHER:

IMAGES ARE BEST AVAILABLE COPY.
As rescanning documents will not correct images problems checked, please do not report the problems to the IFW Image Problem Mailbox